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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes :
10 18 19 22
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
8-18 9-10 10-11 18-19 18-22
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
2-7 3-9 7-8 8-9 8-18 9-10 18-19 18-22
exact bonds :

10 - 11

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 11-12 \quad 11-16 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$

isolated ring systems:

containing 1 : 11 :

G1:Ak,H

G2:Cb, Ak

Match level :

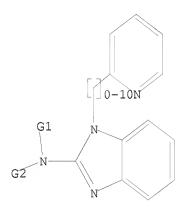
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 Ak,H

G2 Cb, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:08:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 173 TO ITERATE

100.0% PROCESSED 173 ITERATIONS

30 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2671 TO 4249 PROJECTED ANSWERS: 272 TO 928

L2 30 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 09:08:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3704 TO ITERATE

100.0% PROCESSED 3704 ITERATIONS

SEARCH TIME: 00.00.01

L3 470 SEA SSS FUL L1

=> d scan

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[5,7-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

470 ANSWERS

MF C24 H34 N6 O

Me NH- (CH₂)₃-N
$$\stackrel{\text{Me}}{\longrightarrow}$$
 NH- (CH₂)₃-N $\stackrel{\text{Me}}{\longrightarrow}$ OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):40

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 6-methyl-2-[[2-[[2-(3-methylphenyl)ethyl]amino]-1H-

benzimidazol-1-yl]methyl]-

MF C23 H24 N4 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, N-[6-formyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-

1H-benzimidazol-2-y1]-C24 H22 N4 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-

MF C20 H25 N5 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazol-2-amine, 6-(chloromethyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[3-(4-morpholinyl)propyl]-

MF C23 H30 C1 N5 O2

CI COM

IN Carbamic acid, [2-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI)

MF C37 H51 N7 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-

MF C23 H31 N5 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-

MF C21 H27 N5 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-
- MF C30 H38 N6 O

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]-
- MF C35 H47 N7 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]-
- MF C22 H29 N5 O2 S

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & N-CH_2 \\ N$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[(2,3-dihydro-6-methyl-1H-indol-1-yl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C31 H38 N6 O2

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C28 H36 N8 O3

Me N OH
$$CH_2-CH_2-OH$$
 N NH- $(CH_2)_3-N$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Propanamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C33 H39 N7 O3

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{OH} \\ \text{H2N-C-CH}_2 - \text{CH}_2 \\ \text{HC} \\ \text{E} \\ \text{C} \\ \text{N} - \text{CH}_2 \\ \text{N} - \text{CH}_2 \\ \text{N} \\ \text{N} \\ \text{OH} \\ \text{CH}_2)_3 - \text{N} \\ \text{OH} \\ \text{OH$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanamide, 4-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C33 H40 N8 O3

NC
$$(CH_2)_3 - C - NH_2$$
 $NH - (CH_2)_3 - NH$

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-N-methyl-
- MF C35 H47 N7 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C32 H42 N6 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[[[2-[(2-hydroxyethyl)amino]-5methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl-
- MF C31 H41 N7 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

HO- (CH₂)
$$_4$$
NH- CH₂
N- CH₂
N- OH

IN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-

MF C23 H29 N5 O2

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline N & \text{OH} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methylMF C31 H40 N6 O

Me NH-CH₂ NH-(CH₂) 3 NH-(CH₂)
$$N$$
 NH-(CH₂) N NH- OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6methyl-

MF C17 H20 N4 O2

IN 3-Pyridinol, 2-[[2-[[4-(2-aminoethy1)pheny1]amino]-4,6-dimethy1-1Hbenzimidazol-1-y1]methy1]-6-methy1-

MF C24 H27 N5 O

CI COM

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \end{array} \\ \text{Me} \\ \text{Me}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 4-fluoro-N-[1-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)-1H-benzimidazol-2-yl]-

MF C22 H16 F4 N4 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C33 H32 N6 O3

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{CH}_2 \\ \text{Ph} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{CH} \\ \text{CH}_2 \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{CH} \\ \text{CH}_2 \\ \text{NH} \\ \text{NH}$$

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[2-(butylamino)-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C27 H33 N5 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[2-(butylamino)-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]6-methyl-
- MF C20 H26 N4 O

IN Acetamide, N-[6-[[(2,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]-

MF C25 H27 N5 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]-2-oxo-, inner salt

MF C30 H34 N8 O3

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C22 H28 C1 N5 O2

CI COM

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-

MF C21 H26 Br N5 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C24 H33 N5 O3

Relative stereochemistry.

HO N Me
$$(CH_2)_3$$
 Me $(CH_2)_3$ Me

IN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-

MF C33 H44 N6 O3

HO— (CH₂)₃

$$NH-CH2$$

$$NH-CH$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C30 H37 N7 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C31 H40 N6 O3

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-,
rel-

MF C24 H33 N5 O3

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{S} \\ \text{O} \\ \text{Me} \\ \\ \text{HO} \\ \text{N} \\ \\ \text{Me} \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C33 H45 N7 O4 S . \times Cl H

$$H_2N-S-(CH_2)_3-NH$$
 O
 CH_2
 N
 $NH-(CH_2)_3-NH$
 Me
 $NH-(CH_2)_3-NH$
 Me

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-methyl-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C34 H46 N6 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C31 H40 N6 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C33 H45 N7 O4 S

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN β -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-, ethyl ester
- MF C35 H46 N6 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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FULL ESTIMATED COST

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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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L1 STRUCTURE UPLOADED

L2 30 S L1

L3 470 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:09:36 ON 12 FEB 2009

=> s 13

L4 16 L3

=> s 13 and (pry<2004)

16 L3

4266527 PRY<2004

L5 7 L3 AND (PRY<2004)

=> s 13

L6 16 L3

=> d 1-16 ibib abs hitstr

L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1508519 CAPLUS

DOCUMENT NUMBER: 150:56153

TITLE: Benzimidazole derivatives and related compounds for

inhibiting KSP kinesin activity and their preparation and use in the treatment of KSP-associated diseases Shipps, Gerald W., Jr.; Ma, Yao; Lahue, Brian Robert;

INVENTOR(S): Shipps, Gerald W., Jr.; Ma, Yao; Lahue, Brian Robert; Seghezzi, Wolfgang; Herbst, Ronald; Chuang, Cheng-Chi;

Annis, D. Allen; Kirtley, Matthew

PATENT ASSIGNEE(S): Schering Corporation, USA SOURCE: PCT Int. Appl., 319pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2008-US6472 WO 2008153701 A 1 20081218 20080521 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: US 2007-939963P P 20070524 OTHER SOURCE(S): MARPAT 150:56153 GI

RN

The invention provides compds. of formula I as KSP kinesin inhibitors. The invention also provides compns. comprising these compds. that are useful for treating cellular proliferative diseases or disorders associated with KSP kinesin activity and for inhibiting KSP kinesin activity. Compds. of formula I wherein R is H, (halo)alkyl, CN, halo, SH and derivs., etc.; R1 is alkyl, heterocyclyl, aroyl, NH2 and derivs., etc.; R2 and R3 are independently H, alkyl and (un)substituted methylene; R4 is alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, and (un)substituted (hetero)aryl; and pharmaceutically acceptable salts, solvates and esters thereof, are claimed. Example compound II was prepared by a general solid phase procedure (procedure given). All the invention compds. were evaluated for their KSP kinesin inhibitory activity (some data given).

IT 1092830-87-9P 1092830-97-1P 1092831-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and related compds. as KSP inhibitors useful in treatment of KSP-associated diseases) 1092830-87-9 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(methylsulfonyl)phenyl]amino]-1-(2-pyridinylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ N & S - Me \\ \hline N & CH_2 \\ \hline N & N \end{array}$$

RN 1092830-97-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(aminosulfonyl)phenyl]amino]-1-(2-pyridinylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ S - NH_2 & O \\ N - CH_2 & O \\ \end{array}$$

RN 1092831-10-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[(4-methoxyphenyl)amino]-1-[[3-(trifluoromethyl)-2-pyridinyl]methyl]- (CA INDEX NAME)

$$H_2N-C$$
 N
 R
 F_3C

$$\begin{array}{c} \text{OMe} \\ \\ \text{R---} \text{NH-----} \end{array}$$

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:159875 CAPLUS

DOCUMENT NUMBER: 148:299037

TITLE: Selection of a Respiratory Syncytial Virus Fusion Inhibitor Clinical Candidate. 2. Discovery of a

Morpholinopropylaminobenzimidazole Derivative

(TMC353121)

AUTHOR(S): Bonfanti, Jean-Francois; Meyer, Christophe; Doublet,

Frederic; Fortin, Jerome; Muller, Philippe; Queguiner, Laurence; Gevers, Tom; Janssens, Peggy; Szel, Heidi;

Willebrords, Rudy; Timmerman, Philip; Wuyts, Koen; van Remoortere, Pieter; Janssens, Frans; Wigerinck, Piet;

Andries, Koen

CORPORATE SOURCE: Medicinal Chemistry Department, Johnson and Johnson

Pharmaceutical Research and Development, Val de Reuil,

F-27106, Fr.

Journal of Medicinal Chemistry (2008), 51(4), 875-896 SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:299037

A preceding paper reported the optimization of the pharmacokinetic profile of substituted benzimidazoles by reducing their tissue retention. However, the modifications that were necessary to achieve this goal also led to a significant drop in anti-RSV activity. This paper describes a mol. modeling study followed by a lead optimization program that led to the recovery of the initial potent antiviral activity and the selection of TMC353121 as a clin. candidate.

ΙT 857066-90-1P, 2-[[6-[[[2-(3-Hydroxypropy1)-5methylphenyl]amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN

857066-90-1 CAPLUS
3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

ΙT 857035-10-0P, 2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-[[3-(4-Windows)methyl]-2-[[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(4-Windows)methyl]-2-[4-(methylpiperazin-1-yl)propyl]amino]benzimidazol-1-yl]methyl]-6methylpyridin-3-ol 857035-11-1P,

3-[[6-[(3,5-Dimethylphenylamino)methyl]-1-[(3-hydroxy-6-methylpyridin-2-

yl)methyl]-1H-benzimidazol-2-yl]amino]propane-1,2-diol

857035-20-2P, 2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4yl)methyl]amino]-6-[(3,5-dimethylphenylamino)methyl]benzimidazol-1-

vl]methyl]-6-methylpyridin-3-ol 857035-23-5P,

2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-phenethylaminobenzimidazol-1-

yl]methyl]-6-methylpyridin-3-ol 857066-95-6P,

2-[[6-[[2-(3-Hydroxypropy1)pheny1]amino]methy1]-2-[[3-(morpholin-4-(

yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol

857067-08-4P, 2-[[6-[[[2-(2-Hydroxyethyl)phenyl]amino]methyl]-2-

[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-

3-o1 857067-09-5P, 2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-[[3-

(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-

ol 857067-14-2P, 6-Methyl-2-[[2-[[3-(morpholin-4-

yl)propyl]amino]-6-[(m-tolylamino)methyl]benzimidazol-1-yl]methyl]pyridin-

3-ol 857067-44-8P, 2-[[6-[[(3,5-Dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 857067-45-9P
857067-72-2P, 2-[[6-[[(2-Hydroxyethyl)-m-tolylamino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 857067-83-5P, 2-[[6-[[(2-Hydroxyethyl)(phenyl)amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 1009646-66-5P, 2-[[2-[Butylamino]-6-[(3,5-dimethylphenylamino)methyl]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN 857035-10-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH—
$$CH_2$$
 NH— CH_2 N CH_2 N Me Me OH

RN 857035-11-1 CAPLUS

CN 1,2-Propanediol, 3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 857035-20-2 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857035-23-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857066-95-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-08-4 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-09-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-14-2 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino[[3-(4-methylphenyl)amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphenyl]amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylmorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN

857067-44-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

857067-45-9 CAPLUS RN

Butanamide, 4-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN 857067-72-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-83-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 1009646-66-5 CAPLUS

CN 3-Pyridinol, 2-[[2-(butylamino)-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

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Me NH-CH<sub>2</sub> N-CH<sub>2</sub> N-Me Me
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ΙT
                     857035-14-4P, 2-[[6-Hydroxymethyl-2-[[3-(4-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-m
                     y1)propyl]amino]benzimidazol-1-y1]methy1]-6-methy1pyridin-3-ol
                     857035-19-9P, 2-[[5-Hydroxymethyl-2-[[3-(4-methylpiperazin-1-
                     y1)propy1]amino]benzimidazol-1-y1]methy1]-6-methy1pyridin-3-ol
                     857035-24-6P, 2-[(6-Hydroxymethyl-2-phenethylaminobenzimidazol-1-
                     yl)methyl]-6-methylpyridin-3-ol 857067-38-0P,
                     2-[[6-Hydroxymethyl-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-
                     yl]methyl]-6-methylpyridin-3-ol 857067-39-1P,
                     2-[[5-Hydroxymethyl-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-
                     yl]methyl]-6-methylpyridin-3-ol 857070-63-4P,
                     3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-(morpholin-4-
                     yl)propyl]amino]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                     857070-64-5P, 1-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-methylpyridin-2-yl)methyl]-2-[[3-methylpyridin-2-yl]methylpyridin-2-yl]methylpyridin-2-yl
                      (morpholin-4-yl)propyl]amino]-1H-benzimidazole-5-carboxylic acid Ethyl
                     Ester 857070-65-6P, 3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-
                      [[3-(morpholin-4-yl)propyl]amino]-3H-benzimidazole-5-carboxaldehyde
                     857070-68-9P 1009646-51-8P,
                     3-[(3-Hydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpipera
                     yl)propyl]amino]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                     1009646-52-9P, 1-[(3-Hydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydro
                     methylpiperazin-1-yl)propyl]amino]-1H-benzimidazole-5-carboxylic acid
                     Ethyl Ester 1009646-53-0P,
                     3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methyl]-2-[3-(4-methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpiperazin-1-yl)methylpip
                     yl)propyl]amino]-3H-benzimidazole-5-carboxaldehyde 1009646-56-3P
                     , 2-[[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methyl]amino]-3-[(3-hydroxy-6-yl)methylamino]-3-[(3-hydroxy-6-yl)methylamino]-3-[(3-hydroxy-6-yl)methylamino]-3-[(3-hydroxy-6-yl)methylamino]-3-[(3-hydroxy-6
                     methylpyridin-2-yl)methyl]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                     1009646-57-4P, 2-[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-
                     1-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-1H-benzimidazole-5-carboxylic
                     acid Ethyl Ester 1009646-58-5P,
                     2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-6-
                     hydroxymethylbenzimidazol-1-yl]methyl]-6-methylpyridin-3-ol
                     1009646-59-6P, 2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4-
                     y1) methyl]amino]-5-hydroxymethylbenzimidazol-1-y1]methyl]-6-methylpyridin-
                     3-ol 1009646-60-9P, 2-[[(2,2-Dimethyl-[1,3]dioxolan-4-
                     y1) methyl]amino]-3-[(3-hydroxy-6-methylpyridin-2-y1) methyl]-3H-
                     benzimidazole-5-carboxaldehyde 1009646-62-1P,
                     2-Butylamino-3-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-3H-benzimidazole-5-
                     carboxylic Acid Ethyl Ester 1009646-63-2P,
                     2-Butylamino-1-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-1H-benzimidazole-5-
                     carboxylic Acid Ethyl Ester 1009646-64-3P,
                     2-[(2-[Butylamino]-6-hydroxymethylbenzimidazol-1-yl)methyl]-6-
                     methylpyridin-3-ol 1009646-65-4P,
                     2-Butylamino-3-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-3H-benzimidazole-5-
                     carboxaldehyde 1009646-68-7P,
                     3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-3H-
                     benzimidazole-5-carboxylic Acid Ethyl Ester 1009646-69-8P,
                     1-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-1H-
                     benzimidazole-5-carboxylic acid Ethyl Ester 1009646-70-1P,
                     3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-3H-
                     benzimidazole-5-carboxaldehyde
                     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(Reactant or reagent)

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN 857035-14-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

RN 857035-19-9 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

RN 857035-24-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[(2-phenylethyl)amino]- (CA INDEX NAME)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline Me & OH \\ \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2 & \text{NH-(CH}_2)_3 & \text{N} \\ \hline & \text{NH-CH}_2 \\ \text{NH-OH} \end{array}$$

RN 857070-63-4 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3 - N \\ \hline N - CH_2 \\ O & Me \end{array}$$

RN 857070-64-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

OHC
$$NH-(CH_2)_3-N$$
 $NH-(CH_2)_3-N$ $NH-(CH_2$

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3 & N \\ \hline N-CH_2 & \\ Me & OH \end{array}$$

● 4 HCl

RN 1009646-51-8 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 1009646-52-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-53-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH - (CH_2)_3 - N \\ N - CH_2 \\ Me & OH \end{array}$$

RN 1009646-56-3 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-57-4 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-58-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-59-6 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-60-9 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-62-1 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-63-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-64-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-65-4 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX

NAME)

RN 1009646-68-7 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-69-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-70-1 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

REFERENCE COUNT: 15 T

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:954101 CAPLUS

DOCUMENT NUMBER: 147:377573

TITLE: Selection of a Respiratory Syncytial Virus Fusion

Inhibitor Clinical Candidate. Improving the

Pharmacokinetic Profile Using the Structure-Property

Relationship

AUTHOR(S): Bonfanti, Jean-Francois; Doublet, Frederic; Fortin,

Jerome; Lacrampe, Jean; Guillemont, Jerome; Muller, Philippe; Queguiner, Laurence; Arnoult, Eric; Gevers, Tom; Janssens, Peggy; Szel, Heidi; Willebrords, Rudy;

Timmerman, Philip; Wuyts, Koen; Janssens, Frans; Sommen, Cois; Wigerinck, Piet; Andries, Koen

CORPORATE SOURCE: Medicinal Chemistry Department, Johnson & Johnson

Pharmaceutical Research and Development, Val de Reuil,

F-27106, Fr.

SOURCE: Journal of Medicinal Chemistry (2007), 50(19),

4572-4584

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:377573

The authors previously reported the discovery of substituted benzimidazole fusion inhibitors with nanomolar activity against respiratory syncytial virus. A lead compound of the series was selected for preclin. evaluation. This drug candidate, JNJ-2408068 (formerly R170591, 1), showed long tissue retention times in several species (rat, dog, and monkey), creating cause for concern. The authors herein describe the optimization program to develop compds. with improved properties in terms of tissue retention. The authors have identified the aminoethyl-piperidine moiety as being responsible for the long tissue retention time of 1. The authors have investigated the replacement or the modification of this group, and suggest that the pKa of this part of the mols. influences both the antiviral activity and the pharmacokinetic profile. The authors were able to identify new respiratory syncytial virus inhibitors with shorter half-lives in lung tissue.

IT 856894-98-9P 856894-99-0P 857068-40-7P 950483-51-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN 856894-98-9 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-99-0 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-

dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{OH} \\ \text{N} & \text{NH-CH}_2\text{-CH-CH}_2\text{-OH} \\ \text{N-CH}_2 & \text{N-Me} \end{array}$$

857068-40-7 CAPLUS RN

3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

950483-51-9 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(methylamino)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

ΙT 950483-30-4

> RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN

950483-30-4 CAPLUS 3-Pyridinol, 2-[[2-[(3-aminopropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

ΙT 856895-02-8P 856895-13-1P 950483-53-1P

950483-55-3P 950483-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN

856895-02-8 CAPLUS 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH-
$$(CH_2)_3$$
-OH Me Me

RN 856895-13-1 CAPLUS

3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-Ph} \\ \text{Me} \\ \\ \text{MO} \end{array}$$

950483-53-1 CAPLUS RN

Acetamide, N-[2-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-CN 1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

RN 950483-55-3 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[2-(phenylamino)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 950483-57-5 CAPLUS

CN 3-Pyridinol, 2-[[2-(butylamino)-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 856895-07-3P 856895-15-3P 856895-41-5P

950483-42-8P 950483-44-0P 950483-46-2P

950483-48-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN 856895-07-3 CAPLUS

CN β -Alanine, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]-, ethyl ester (CA INDEX NAME)

RN 856895-15-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \\ \text{Me} \\ \end{array}$$

RN 856895-41-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]- (CA INDEX NAME)

RN 950483-42-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-N-[3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)

Me NH-
$$(CH_2)_3$$
 N Me Me Ph- CH_2 - O

RN 950483-44-0 CAPLUS

CN 1,3-Propanediamine, N1-[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]-N3-methyl- (CA INDEX NAME)

RN 950483-46-2 CAPLUS

CN Acetamide, N-[2-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-NHAc} \\ \text{N-CH}_2 \\ \text{O} \end{array}$$

RN 950483-48-4 CAPLUS

CN 1,2-Ethanediamine, N1-[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]-N2-phenyl- (CA INDEX NAME)

Me NH-
$$CH_2$$
- CH_2 - $NHPh$ Me Ph- CH_2 - O

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

22

ACCESSION NUMBER: 2006:1356990 CAPLUS

DOCUMENT NUMBER: 146:100696

TITLE: Preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-

dihydro-benzimidazol-2-ones with activity on

respiratory syncytial virus (RSV)

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 52pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.			KIND DATE				APP:	LICAT	DATE						
WO	2006	1365	 61				2006	1228		WO :	2006-:	EP63	 365		2	0060	620
	W:	ΑE,	AG,	AL,	ΑM,	AT,	ΑU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN	, IS,	JP,	KE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU	, LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NΑ,	NG,	ΝI,	NO,	ΝZ,	OM	, PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ	, TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	VC,	VN,	ZA,	ZM,	zw									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	ΝL,	PL,	PT	, RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML	, MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
							TM										
AU	2006	2609	69		A1		2006	1228		AU :	2006-	2609	69		2	0060	620
										2006-							
EΡ	1896	473			A1	2008	0312	EP 2006-763808						20060620			
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL	, PT,	RO,	SE,	SI,	SK,	TR,	AL,
			HR,														
JP	2008	5467	38		Τ		2008	1225		JP :	2008-	5174	87		2	0060	620
IN	2007	DN09	456		А		2008	0620		IN :	2007-	DN94	56		2	0071	207
MX	2007	1653	7		Α		2008	0306		MX :	2007-	1653	7		2	0071	218
CN	MX 200716537 CN 101203517						2008	0618									
CIORIT	IORITY APPLN. INFO.:									EP 2005-76438							
											2006-				W 2	0060	620
IHER SO I	OURCE	(S):			CASI	REAC	CT 14	6:10	0696	; M	ARPAT	146	:100	696			

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R = II or III; Q = H or alkyl optionally substituted with a heterocycle or Q = alkyl substituted with both a radical -OR4 and a heterocycle; Alk = alkanediyl; X = O or S; al:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHCH:N; R1 = Ar or a heterocycle; R2 = H, (un)substituted alkyl, cycloalkyl; R3 = H, alkyl, cyano, aminocarbonyl, polyhaloalkyl, alkenyl or alkynyl; R4 = H or alkyl; Ar = (un)substituted Ph; and their salts], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of IV, starting from V, was given. Exemplified compds. I were screened in vitro for their activity against respiratory syncytial virus (pEC50 values were provided). Pharmaceutical compns. containing compds. I and processes for preparing compds. I were

disclosed.

IT 918151-94-7P 918151-95-8P 918151-96-9P
918151-97-0P 918151-98-1P 918152-00-8P
918152-01-9P 918152-03-1P 918152-04-2P
918152-05-3P 918152-06-4P 918152-07-5P
918152-08-6P 918152-10-0P 918152-11-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-[(2-amino-3-(substituted))]

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 918151-94-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-95-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-96-9 CAPLUS

CN 2H-Imidazo[4,5-c]pyridinium, 1,3-dihydro-1-(2-hydroxyethyl)-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-97-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-[2-(phenylmethoxy)ethyl]-, inner salt (CA INDEX NAME)

PAGE 1-A

N— (CH₂)₃-NH
$$\stackrel{N}{\longrightarrow}$$
 CH₂ $\stackrel{+}{\longrightarrow}$ N $\stackrel{N}{\longrightarrow}$ CH₂-CH₂-O $\stackrel{-}{\longrightarrow}$ HO $\stackrel{N}{\longrightarrow}$ Me

PAGE 1-B

— СН₂— Рh

RN 918151-98-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-cyclopropyl-2,3-dihydro-3-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo- (CA INDEX NAME)

RN 918152-00-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(3-phenylpropyl)-, inner salt (CA INDEX NAME)

RN 918152-01-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt, hydrochloride (1:1) (CA INDEX NAME)

N— (CH₂) 3—NH—N CH₂
$$\stackrel{+}{\longrightarrow}$$
 N CH₂—CH₂—Ph

● HCl

RN 918152-03-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

RN 918152-04-2 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-(2-phenylethyl)- (CA INDEX NAME)

RN 918152-05-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918152-06-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918152-07-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt (CA INDEX NAME)

CH₂
$$^{\text{CH}_2}$$
 $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$ $^{\text{CH}_2}$

RN 918152-08-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-[2-(4-fluorophenyl)ethyl]-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

PAGE 1-A

RN 918152-10-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 918152-11-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-(3-phenylpropyl)- (CA INDEX NAME)

IT 857067-38-0 857071-29-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 1-[(2-amino-3-(substituted
 alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol 2-ones with activity on respiratory syncytial virus)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & N-CH_2 \\ Me & OH \end{array}$$

RN 857071-29-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

N NH-
$$(CH_2)_3$$
 N NH- R

IT 857070-36-1P 857070-68-9P 857071-34-2P

918152-25-7P 918152-26-8P 918152-27-9P

918152-28-0P 918152-30-4P 918152-31-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 - N \\ \hline N - \text{CH}_2 \\ \text{Me} & OH \end{array}$$

● 4 HCl

RN 857071-34-2 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

RN 918152-25-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-CH_2-CH_2-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me-OH & OH \\ \end{array}$$

RN 918152-26-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 918152-27-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HC1

RN 918152-28-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 918152-30-4 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

RN 918152-31-5 CAPLUS

CN 3-Pyridinol, 2-[[5-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

IT 1062064-57-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 1062064-57-6 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2 & \text{NH-CH}_2\text{-CH}_2 \\ \hline & \text{N-CH}_2 \\ \hline & \text{OH} \end{array}$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1356649 CAPLUS

DOCUMENT NUMBER: 146:100692

TITLE: Preparation of 2-substituted benzimidazoles as

respiratory syncytial virus (RSV) replication

inhibitors

INVENTOR(S): Bonfanti, Jean-François; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 42pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

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		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN	, IS,	JP,	KE,	KG,	KM,	KN,	KP,	
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU	, LV,	LY,	MA,	MD,	MG,	MK,	MN,	
		MW,	MX,	MZ,	NΑ,	NG,	ΝI,	NO,	NΖ,	OM	, PG,	PH,	PL,	PT,	RO,	RS,	RU,	
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		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML	, MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM											
AU	2006	2609	71		A1	A1 20061228 AU 2006-260971								2	0060	620		
CA	2612	265			A1	A1 20061228 CA 2006-2612265												
EP	1896	450			A1		2008	80312 EP 2006-777372							20060620			
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		BA,	HR,	MK,	YU													
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	2007						2008				2007-					0071		
MX	MX 200716313						2008	0307			2007-					0071	217	
	1012				A		2008	0618			2006-					0071		
RIORIT	Y APP	LN.	INFO	.:							2005-							
										WO	2006-	EP63	367	,	W 2	0060	620	
THER S	HER SOURCE(S):				MAR	IARPAT 146:100692												

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [Q = H, alkyl optionally substituted with a heterocycle or Q = alkyl substituted with both OR4 and a heterocycle (wherein said heterocycle = oxazolidine, thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl, etc.); each Alk = alkanediyl; R1 = Ar2 or (un)substituted piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, pyridyl, etc.; R2 = H or alkyl; R3, R4 = H, alkyl, hydroxyalkyl, etc.; Ar2 = (un)substituted Ph], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of II, starting with III and IV, was given. Exemplified compds. I were screened in vitro for activity against respiratory syncytial virus (pEC50 values were given). Pharmaceutical

composition comprising the compound I is disclosed.

IT 918155-50-7P 918155-62-1P 918155-63-2P

918155-64-3P 918155-65-4P 918155-66-5P

918155-67-6P 918155-68-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

RN 918155-50-7 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-62-1 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-(3-hydroxypropyl)phenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-63-2 CAPLUS

CN Acetamide, N-[6-[[(3,5-dichlorophenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-64-3 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[(3-methylphenyl)amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-65-4 CAPLUS

CN Acetamide, N-[6-[[(3-ethynylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-66-5 CAPLUS

CN Acetamide, N-[6-[[(2,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-67-6 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-[2-(4-morpholinyl)ethyl]phenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-68-7 CAPLUS

CN Benzenepropanamide, 2-[[[2-(acetylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

IT 918155-70-1P 918155-71-2P 918155-72-3P

918155-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

RN 918155-70-1 CAPLUS

CN Acetamide, N-[6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

- RN 918155-71-2 CAPLUS
- CN Acetamide, N-[6-(hydroxymethyl)-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

- RN 918155-72-3 CAPLUS
- CN Acetamide, N-[6-formyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]- 1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-73-4 CAPLUS

CN Acetamide, N-[6-[[[2-(3-hydroxypropy1)-5-methylphenyl]amino]methyl]-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1355985 CAPLUS

DOCUMENT NUMBER: 146:100688

TITLE: Preparation of heterocyclylaminoalkyl substituted

benzimidazoles as respiratory syncytial virus (RSV)

replication inhibitors

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					D	DATE			APPL	ICAT	ION :	NO.		DATE			
WO 2006136562					A1	_	 2006	1228	,	WO 2	006-		20060620					
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		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM											
ΑU	2006	2609	70		A1		2006	1228		AU 2	006-	2609	70		20060620			

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CA 2612264
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                                 20080312
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                                                                     20071217
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                                             CN 2006-80021970
                                                                     20071219
PRIORITY APPLN. INFO.:
                                             EP 2005-76439
                                                                     20050620
                                             WO 2006-EP63366
                                                                     20060620
OTHER SOURCE(S):
                         CASREACT 146:100688; MARPAT 146:100688
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Ι

$$\begin{array}{c|c}
 & \text{Alk-R1} & \text{Alk-N} & \text{R3} \\
 & \text{Het} & \text{R4} & \text{R4}
\end{array}$$

 \mathbb{R}^2

GΙ

RN

CN

918153-21-6 CAPLUS

AΒ The title compds. I [Q = H, alkyl optionally substituted with aheterocycle or Q = alkyl substituted with both -OR4 and a heterocycle (wherein said heterocycle = (un)substituted oxazolidine, thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl, thiomorpholinyl, etc.); each Alk = alkanediyl; R1 = Ar or (un)substituted piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, pyridyl, etc.; R3 = hydroxyalkyl, alkoxyalkyl, cyanoalkyl, etc.; R2, R4 and R5 = H or alkyl; Het = pyridyl, pyrazinyl, pyridazinyl, etc.], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. Exemplified compds. I were screened in vitro for activity against RSV (pEC50 values were provided). Pharmaceutical composition comprising the compound I is disclosed. ΙT 918153-21-6P 918153-22-7P 918153-23-8P 918153-24-9P 918153-25-0P 918153-27-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclylaminoalkyl substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

2-Pyridineethanol, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-22-7 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2-OH$
 $NH-CH_2-OH$

RN 918153-23-8 CAPLUS

CN 4-Pyridineethanol, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-24-9 CAPLUS

CN 4-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-25-0 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

RN 918153-27-2 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

IT 857067-38-0P 857067-39-1P 857070-36-1P

857070-65-6P 857071-29-5P 857071-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $(preparation\ of\ heterocyclylaminoalkyl\ substituted\ benzimidazoles\ as\ respiratory\ syncytial\ virus\ (RSV)\ replication\ inhibitors)$

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & N-CH_2 \\ Me & OH \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2 & \text{NH-(CH}_2)_3 & \text{N} \\ \hline & \text{N-CH}_2 \\ \text{Me} & \text{OH} \end{array}$$

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

OHC
$$N = CH_2$$
 OH

RN 857071-29-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

N NH-
$$(CH_2)_3$$
 N NH- R

RN 857071-32-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3 - N \\ \hline N & R \end{array}$$

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:570884 CAPLUS

DOCUMENT NUMBER: 143:97367

TITLE: Preparation of 5- or 6-substituted benzimidazole

derivatives as inhibitors of respiratory syncytial

virus replication

INVENTOR(S): Bonfanti, Jean-francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller,

Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

Tibotec Pharmaceuticals Ltd., Ire.

PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

PA'	TENT	ΝΟ.			KIN	D	DATE			APPL	ICAT	ION I	NO.		DATE		
WO	2005	0588	74		A1		2005	0630	,	wo 2	004-		2	0041	220		
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		,		,	,	- ,	GR,	,	,		,	,	,		,	,	,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,												
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		,	IS,	YU													
CN	1914	196			A		2007						1654		_	0041	
BR	2004	0172	73		A		2007						3		_	0041	
	2007				T		2007						65			0041	
	2006		-		A		2007	-					18			0060	-
	2007				A1		2007	-					21			0060	-
	MX 2006007111						2006						4.0			0060	
	KR 2006127047						2006	1211					48			0060	
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GΙ

AΒ The title compds. I [Q = Ar2, R6, pyrrolidinyl substituted with R6,piperidinyl substituted with R6 or homopiperidinyl substituted with R6; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R2b = cyanoalkyl, cyanoalkenyl, Ar3(alkyl), Het(alkyl), etc., and the other one of R2a and R2b = H; in case R2a = H, then R3 = H; in case R2b = H, the R3 = H or alkyl; R5 = H, alkyl; R6 = H, (un)substituted alkyl, etc.; Ar1, Ar2 = (un)substituted Ph; Ar3 = (un)substituted Ph, naphthalenyl, 1,2,3,4-tetrahydronaphthalenyl, indanyl; heterocycle; and a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 857035-13-3P 857035-18-8P 857035-20-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-13-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

N NH-
$$(CH_2)_3$$
 N NH- CH_2 N OH

RN

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

$$N \rightarrow NH^- (CH_2)_3 \rightarrow N$$
 $N \rightarrow CH_2$
 $N \rightarrow CH_2$
 $N \rightarrow CH_2$
 $N \rightarrow CH_2$

RN 857035-20-2 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

IT 857035-09-7P 857035-10-0P 857035-11-1P 857035-12-2P 857035-14-4P 857035-15-5P 857035-16-6P 857035-17-7P 857035-19-9P 857035-21-3P 857035-22-4P 857035-23-5P 857035-24-6P 857035-25-7P 857035-26-8P 857035-27-9P 857035-28-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-09-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-10-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA

INDEX NAME)

Me NH-
$$(CH_2)_3$$
 N NH- $(CH_2)_3$ N Me Me Me

857035-11-1 CAPLUS RN

CN 1,2-Propanediol, 3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-instance)amino]methyllamino]methmethyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{NH-CH}_2 - \text{CH-CH}_2 - \text{OH} \\ \text{Me} \\ \text{NH-CH}_2 \\ \text{HO} \end{array}$$

RN

857035-12-2 CAPLUS 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methyl]-2-[[3-(1-mathylphenyl)amino]methylphenyl]CN piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-14-4 CAPLUS

1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-CN [[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN

857035-15-5 CAPLUS 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-CN piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH-CH2 NH-(CH2)3 N NH- (CH2)
$$\frac{1}{3}$$
 N Me Me OH

RN 857035-16-6 CAPLUS

CN 1,2-Propanediol, 3-[[5-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-in-dimethyl]]methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

857035-17-7 CAPLUS RN

1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-CN [[2-(4-methoxyphenyl)ethyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{OMe} \\ & & & \text{NH-CH}_2\text{--}\text{CH}_2 \\ & & & \text{N---}\text{CH}_2 \\ & & & \text{N---}\text{OH} \end{array}$$

RN 857035-19-9 CAPLUS

 $1 \\ \\ H-Benzimidazole-5-methanol, \\ 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-methyl-2-pyridinyl) \\ \\ methyl-2-pyridinyl)methyl]-2-methyl-2-pyridinyl)\\ \\ methyl-2-pyridinyl)methyl-2-pyridinyl)\\ \\ methyl-2-pyridinyl)\\ \\ \\ methyl-2-pyridinyl)\\ \\ \\ methyl-2-pyridinyl)\\ \\ \\ \\ methyl-2-pyridinyl)\\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$ CN [[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

HO-
$$CH_2$$

N- CH_2

N- CH_2

Me

N- OH

RN 857035-21-3 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

RN 857035-22-4 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-23-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-24-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

857035-25-7 CAPLUS RN

1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-CN[[2-(4-methoxyphenyl)ethyl]amino]- (CA INDEX NAME)

RN

857035-26-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[2-(4-CN methoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-INDEX NAME)

RN 857035-27-9 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[2-(4-methylphenyl)amino]methyl]-2-[[2-(4-methylphenyl)amino]methyl]-2-[[2-(4-methylphenyl)amino]methylphenyl)amino]methylphenylmethoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857035-28-0 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dichlorophenyl)amino]methyl]-2-[(2-CN phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 857035-58-6P 857035-59-7P 857035-60-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-58-6 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid,

1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & \\ O & Me \end{array}$$

RN 857035-59-7 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857035-60-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & \\ N-CH_2 & \\ Me & OH \end{array}$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:570883 CAPLUS

DOCUMENT NUMBER: 143:97366

TITLE: Preparation of aminobenzimidazoles as inhibitors of

respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	. OV			KIN	D -	DATE			APPI	LICAT	ION :	NO.		DATE				
WO	2005058869					A1 20050630				WO 2	2004-1		2	0041	220				
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	, JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	, SC,	SD,	SE,	SG,	SK,	SL,	SY,		
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	2004		A1						2004-					0041					
	25480 1697								CA 2004-2548657 EP 2004-804949										
EP											2004-				_		•		
	Λ.	•		•					•		TR,			,					
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CN	1918	,	1111,	10,	A		2007	0221		CN 2	2004-	8004	1689	20041220					
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US	2007	00999	924		A1		2007	0503		US 2	2006-	5965	14		2	0060	615		
MX	2006	0071	8 C		Α		2006	0818		MX 2	2006-	7108			21	0060	619		
ИО	2006	0032	18		Α		2006	0711		NO 2	2006-	3218			20	0060	711		
KR	2006	1278	79		A		2006	1213		KR 2	2006-	7142	32		21	0060	714		
ORITY	APP:	LN.	INFO	.:						EP 2	2003-	1047	97	1	A 20	0031	218		

CASREACT 143:97366; MARPAT 143:97366

OTHER SOURCE(S):

AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; Q = H, amino or mono- or dialkylamino; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from hydrogen then R3b = H, alkyl or halogen and R2b = H; R5 is not defined; Ar1 = (un)substituted Ph; and the prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms thereof] having inhibitory activity on RSV replication, were prepared E.g., a multi-step synthesis of II, starting from Et 2-aminobenzimidazole-5-carboxylate, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 856835-30-8P 856835-51-3P 856835-58-0P 856835-64-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobenzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856835-30-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-(methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856835-51-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-(methylamino)- (CA INDEX NAME)

RN 856835-58-0 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-(methylamino) - (CA INDEX NAME)

RN

856835-64-8 CAPLUS
3-Pyridinol, 2-[[5-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-CN (methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

ΙT 1060720-96-8P 1060721-93-8P 1060729-12-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminobenzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 1060720-96-8 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(methoxycarbonyl)amino]-, ethyl ester (CA INDEX NAME)

1060721-93-8 CAPLUS RN

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(methoxycarbonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1060729-12-5 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-(methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:564655 CAPLUS

DOCUMENT NUMBER: 143:97374

TITLE: Preparation of morpholine containing benzimidazoles as

inhibitors of respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.						DATE		
WO 2005058871				A1		20050630		WO 2004-EP53620						20041220		
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BΑ,	BB,	ΒG,	BR,	BW,	BY,	BZ,	CA,	CH,
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	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,

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         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
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                                              US 2008-140686
                                                                      20080617
PRIORITY APPLN. INFO.:
                                              EP 2003-104810
                                                                   Α
                                                                      20031218
                                              US 2004-567182P
                                                                   Ρ
                                                                      20040430
                                              EP 2004-105312
                                                                   Α
                                                                      20041026
                                              WO 2004-EP53620
                                                                   W
                                                                      20041220
                                              US 2006-563691
                                                                   A1 20060104
OTHER SOURCE(S):
                         CASREACT 143:97374; MARPAT 143:97374
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GΙ

AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; <math>Q = R7, pyrrolidinyl

substituted with R7, piperidinyl substituted with R7 or homopiperidinyl substituted with R7; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from H atom then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from H atom then R3b = H, alkyl or halogen and R2b = H; R5 = H, alkyl; Ar1 = (un)substituted Ph; R7 = alkyl substituted with heterocycle or alkyl substituted with both a radical OR8 and a heterocycle; R8 = H, alkyl, Ar1alkyl; or a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound

I is disclosed.

IT 857067-38-0P 857067-39-1P 857068-61-2P 857069-72-8P 857070-23-6P 857070-36-1P 857070-37-2P 857070-98-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 & \text{N} \\ \hline N & \text{CH2} \\ \hline N & \text{OH} \\ \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857068-61-2 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

RN 857069-72-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-23-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

NH-
$$(CH_2)_3$$
 NH- $(CH_2)_3$ NH-

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-37-2 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2 & \text{N} & \text{NH- (CH}_2)_3 & \text{N} \\ \hline & \text{N-CH}_2 \\ \text{Me} & \text{OMe} \end{array}$$

RN 857070-98-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

HO N Me
$$(CH_2)_3$$
 N Me

IT 857066-88-7P 857066-89-8P 857066-90-1P 857066-91-2P 857066-92-3P 857066-93-4P 857066-94-5P 857066-95-6P 857066-96-7P 857066-97-8P 857066-98-9P 857067-00-6P 857067-01-7P 857067-02-8P 857067-03-9P 857067-04-0P 857067-05-1P

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857067-06-2P 857067-07-3P 857067-08-4P
857067-09-5P 857067-10-8P 857067-11-9P
857067-12-0P 857067-13-1P 857067-14-2P
857067-15-3P 857067-16-4P 857067-17-5P
857067-18-6P 857067-19-7P 857067-20-0P
857067-21-1P 857067-22-2P 857067-23-3P
857067-24-4P 857067-25-5P 857067-26-6P
857067-27-7P 857067-28-8P 857067-29-9P
857067-30-2P 857067-31-3P 857067-32-4P
857067-33-5P 857067-34-6P 857067-35-7P
857067-36-8P 857067-37-9P 857067-40-4P
857067-41-5P 857067-42-6P 857067-43-7P
857067-44-8P 857067-45-9P 857067-46-0P
857067-47-1P 857067-48-2P 857067-49-3P
857067-50-6P 857067-51-7P 857067-52-8P
857067-53-9P 857067-54-0P 857067-55-1P
857067-56-2P 857067-57-3P 857067-58-4P
857067-59-5P 857067-60-8P 857067-61-9P
857067-62-0P 857067-63-1P 857067-64-2P
857067-65-3P 857067-66-4P 857067-67-5P
857067-68-6P 857067-69-7P 857067-70-0P
857067-71-1P 857067-72-2P 857067-73-3P
857067-74-4P 857067-75-5P 857067-76-6P
857067-77-7P 857067-78-8P 857067-79-9P
857067-80-2P 857067-81-3P 857067-82-4P
857067-83-5P 857067-84-6P 857067-85-7P
857067-86-8P 857067-87-9P 857067-88-0P
857067-89-1P 857067-90-4P 857067-91-5P
857067-92-6P 857067-93-7P 857067-94-8P
857067-95-9P 857067-96-0P 857067-97-1P
857067-98-2P 857067-99-3P 857068-00-9P
857068-01-0P 857068-02-1P 857068-03-2P
857068-04-3P 857068-05-4P 857068-06-5P
857068-07-6P 857068-08-7P 857068-09-8P
857068-10-1P 857068-11-2P 857068-12-3P
857068-13-4P 857068-14-5P 857068-15-6P
857068-16-7P 857068-17-8P 857068-18-9P
857068-19-0P 857068-20-3P 857068-21-4P
857068-22-5P 857068-23-6P 857068-24-7P
857068-25-8P 857068-26-9P 857068-27-0P
857068-28-1P 857068-29-2P 857068-30-5P
857068-31-6P 857068-32-7P 857068-33-8P
857068-34-9P 857068-35-0P 857068-36-1P
857068-37-2P 857068-38-3P 857068-39-4P
857068-40-7P 857068-41-8P 857068-42-9P
857068-43-0P 857068-44-1P 857068-45-2P
857068-46-3P 857068-57-6P 857068-58-7P
857068-59-8P 857068-60-1P 857068-62-3P
857068-63-4P 857068-64-5P 857068-65-6P
857068-66-7P 857068-67-8P 857068-68-9P
857068-70-3P 857068-71-4P 857068-72-5P
857068-81-6P 857068-88-3P 857068-94-1P
857068-97-4P 857068-98-5P 857069-06-8P
857069-17-1P 857069-18-2P 857069-25-1P
857069-26-2P 857069-29-5P 857069-37-5P
857069-38-6P 857069-41-1P 857069-43-3P
857069-44-4P 857069-47-7P 857069-48-8P
857069-50-2P 857069-51-3P 857069-54-6P
857069-55-7P 857069-56-8P 857069-57-9P
857069-75-1P 857069-76-2P 857069-79-5P
857069-80-8P 857069-81-9P 857069-83-1P
857069-84-2P 857069-91-1P 857069-97-7P
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857070-00-9P 857070-04-3P 857070-09-8P 857070-10-1P 857070-11-2P 857070-12-3P 857070-15-6P 857070-18-9P 857070-19-0P 857070-20-3P 857070-21-4P 857070-22-5P 857070-24-7P 857070-25-8P 857070-27-0P 857070-29-2P 857070-30-5P 857070-34-9P 857070-40-7P 857070-41-8P 857070-43-0P 857070-59-8P 857070-60-1P 857070-61-2P 857071-23-9P 857083-26-2P 857083-27-3P 857083-28-4P 857083-29-5P 857083-30-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857066-88-7 CAPLUS

CN Benzonitrile, 4-(2-hydroxyethyl)-3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

HO-CH₂-CH₂

$$NH-CH2$$

$$NH-CH$$

RN 857066-89-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[5-bromo-2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857066-90-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857066-91-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[5-chloro-2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO-(CH₂)₃

$$NH-CH2$$

$$NH-CH2$$

$$N-CH2$$

RN

857066-92-3 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethoxy)-5-methylphenyl]amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857066-93-4 CAPLUS

 $3- \texttt{Pyridinol, 2-[[6-[[[5-ethenyl-2-(2-hydroxyethyl)phenyl]amino]methyl]-2-(2-hydroxyethyl)phenyl]} \\ amino] \\ \texttt{methyl]-2-(2-hydroxyethyl)phenyl] \\ \texttt{methyl}-2-(2-hydroxyethyl)phenyl] \\ \texttt{methyl}-2-(2-hydroxyethyl)phe$ CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857066-94-5 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-methylphenyl]amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO-CH₂-CH₂

$$NH-CH2$$

$$NH-CH$$

RN 857066-95-6 CAPLUS

3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$
 $NH-CH_2$
 $N-CH_2$
 $N-CH_2$

RN 857066-96-7 CAPLUS

3-Pyridinol, 2-[[6-[[2-(2-hydroxyethy1)-5-methoxypheny1]amino]methy1]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

$$N = NH - CH_2$$
 $N = NH - CH_2$
 $N = NH - CH_$

RN

857066-97-8 CAPLUS 3-Pyridinol, 2-[[6-[[[5-bromo-2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857066-98-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2-[(2-hydroxyethyl)sulfonyl]-5methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857066-99-0 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropy1)-5-CN (trifluoromethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HO— (CH₂)₃

$$NH$$

$$NH$$

$$CH_2$$

$$NH$$

$$NH$$

$$CH_2$$

$$NH$$

$$OH$$

857067-00-6 CAPLUS RN

3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methoxyphenyl]amino]methyl]-2-CN [[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methyl]-6-methyl-(CA INDEX NAME)

HO—
$$(CH_2)_3$$

NH— CH_2

NH— CH_2

N

OMe

OMe

RN 857067-01-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxybutyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{Me-CH-CH}_2\text{-CH}_2 \\ \text{NH-CH}_2 \\ \text{NH-CH}_2 \\ \text{NH-CH}_2 \\ \text{OH} \\ \end{array}$$

RN 857067-02-8 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

$$H_2N-C-CH_2-CH_2$$
 $NH-CH_2$
 $NH-CH_2$

RN 857067-03-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-04-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[5-fluoro-2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$

RN

857067-05-1 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(3-hydroxy-1-propen-1-y1)-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-y1]methy1]-6-methy1- (CA INDEX NAME)

HO-CH₂-CH=CH
$$NH-CH2$$

$$NH-CH$$

RN 857067-06-2 CAPLUS

3- Pyridinol, 2-[[6-[[[2-(4-hydroxybutyl)-5-methylphenyl]amino]methyl]-2-methylphenyl]CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857067-07-3 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dichlorophenyl)amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

C1
$$NH-CH_2$$
 $NH-CH_2$ N

RN 857067-08-4 CAPLUS

3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-ydroxyethyl)phenyl]amino]methyllamino[[3-(4-ydroxyethyl)phenyl]amino[[3-(4-ydroxyetCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-09-5 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino[[3-(4-methylphenyl)amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphenyl]amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphenyCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-10-8 CAPLUS RN

Benzenepropanamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-methyl-2-pyridinyl]]]CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-(trifluoromethyl) - (CA INDEX NAME)

$$\begin{array}{c} O \\ H_2N-C-CH_2-CH_2 \\ NH-CH_2 \\ N-CH_2 \\$$

857067-11-9 CAPLUS RN

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-3-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857067-12-0 CAPLUS 3-Pyridinol, 2-[[6-[[(3-bromophenyl)amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-13-1 CAPLUS

CN Benzonitrile, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-pyridinyl]methyl-2-[[3-(4-methyl-2-pyridinyl)methyl-2-[[3-(4morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-14-2 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino[[3-(4-methylphenyl)amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphenyl]amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphe morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN

857067-15-3 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)phenyl]amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-16-4 CAPLUS

3-Pyridinol, 2-[[6-[[(3-ethynylphenyl)amino]methyl]-2-[[3-(4-inverse)amino]methyl]]CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HC
$$=$$
 C $=$ NH $=$ CH₂ NH $=$ CH₂ OH

RN 8570**6**7-17-5 CAPLUS

3-Pyridinol, 2-[[6-[[(3-chlorophenyl)amino]methyl]-2-[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino]methylamino[[3-(4-chlorophenyl)amino[[3-(4-chloCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-18-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2-(hydroxymethyl)-4-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methylphenyl](4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-19-7 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN

857067-20-0 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(3-hydroxy-1-propyn-1-y1)-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$HO-CH_2-C=C$$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$

RN 857067-21-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-fluorophenyl)amino]methyl]-2-[[3-(4-fluorophenyl)amino]methylamino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-22-2 CAPLUS 3-Pyridinol, 6-methyl-2-[[2-[[3-(4-morpholinyl)propyl]amino]-6-[[[3-CN (trifluoromethoxy)phenyl]amino]methyl]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857067-23-3 CAPLUS

Benzenesulfonamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-CN(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-24-4 CAPLUS

CN Benzamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-pyridinyl]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-[[3-(4-methyl-2morpholinyl)propyl]amino]-1H-benzimidazo1-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-25-5 CAPLUS

3-Pyridinol, 2-[[6-[[[2-[(2-hydroxyethyl)amino]-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$HO-CH_2-CH_2-NH$$
 $NH-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$
 $N-CH_2$

857067-26-6 CAPLUS RN

3-Pyridinol, 2-[[6-[[(2,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino[[3-(4-methylphenylamino]methylmino[[3-(4-methylphenylamino]methylmino[[3-(4-mCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-INDEX NAME)

RN

857067-27-7 CAPLUS 3-Pyridinol, 2-[[6-[(2-ethylphenyl)amino]methyl]-2-[[3-(4-ethylphenyl)amino]methyl]-2-[3-(4-ethylphenyl)amino]methyl]-2-[3-(4-ethylphenyl)amino]methyl]-2-[3-(4-ethylphenyl)amino]methyllamino]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methylphenyl]methyllamino[methyCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-28-8 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[[2-[2-(4morpholinyl)ethyl]phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN

857067-29-9 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)-3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methylphenyl]amino]methylphenyl]CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN857067-30-2 CAPLUS

CN Benzamide, 4-(2-hydroxyethy1)-3-[[[1-[(3-hydroxy-6-methy1-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6vl]methyl]amino]- (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$
 $NH-CH_2$

RN 857067-31-3 CAPLUS

CN Benzamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-32-4 CAPLUS

CN Benzenepropanenitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3methyl- (CA INDEX NAME)

RN 857067-33-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[3-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-34-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethy1)-5-(methylsulfonyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$
 $NH-CH_2$

RN 857067-35-7 CAPLUS

CN Benzenepropanenitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3,5dimethyl- (CA INDEX NAME)

$$MC-CH_2-CH_2$$
 Me
 $NH-CH_2$
 $MH-CH_2$
 $MH-CH_2$

RN 857067-36-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-iodo-5-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-37-9 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methyl]-2-[[3-(4-indimethylphenyl)amino]methylphenylamino]methylphenylamino[[3-(4-indimethylphenyl]amino]methylphenylamino[[3-(4-indimethylphenyl]amino]methylphenylamino[[3-(4-indimethylphenyl]amino[[3morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-40-4 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-(3-thienyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methy1]-6-methy1-(CA INDEX NAME)

Me OH
$$CH_2-CH_2-OH$$
 $NH-CH_2$ $NH-$

RN 857067-41-5 CAPLUS

3-Pyridinol, 2-[[6-[[[5-(3-furany1)-2-(2-hydroxyethy1)pheny1]amino]methyl]-CN $2-[[3-(4-morpholinyl)propyl]amino]-1\\H-benzimidazol-1-yl]methyl]-6-methyl-1$ (CA INDEX NAME)

RN 857067-42-6 CAPLUS

3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-(2-thienyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me OH
$$CH_2-CH_2-OH$$
 $NH-CH_2$ $NH-$

857067-43-7 CAPLUS RN

3-Pyridinol, 2-[[6-[[5-(2-furanyl)-2-(2-hydroxyethyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me OH
$$CH_2-CH_2-OH$$
 CH_2 $NH-CH_2$ $NH-CH_$

RN

857067-44-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-45-9 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-46-0 CAPLUS

CN Propanamide, 3-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-47-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(4-hydroxybutyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-48-2 CAPLUS

CN Butanoic acid, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me

HO

N

$$CH_2$$
 $N = CH_2$
 $N = CH_2$

RN 857067-49-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(3-hydroxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-50-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(5-hydroxypentyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me HO
$$\sim$$
 N \sim CH2 \sim NH- (CH2)3 \sim N \sim NH- (CH2)3 \sim N

RN 857067-51-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)[2-(4-morpholinyl)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-52-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-aminoethy1)(3,5-dimethy1pheny1)amino]methy1]-2-[[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methy1]-6-methy1-(CA INDEX NAME)

Me
$$\begin{array}{c} \text{Me} \\ \text{HO} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{NH}_2 \\ \text{N} - \text{CH}_2 \\ \text{N} - \text{CH}_2 \\ \text{N} \\ \text{N} \end{array}$$

$$\begin{array}{c} \text{NH} - \text{(CH}_2\text{)}_3 - \text{N} \\ \text{(CH}_2$$

RN 857067-53-9 CAPLUS

CN Phosphoric acid, 2-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl diethyl ester (CA INDEX NAME)

RN 857067-54-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)[3-(4-morpholinyl)propyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me
$$N-CH_2$$
 $N-CH_2$ $N-CH_2$

RN 857067-55-1 CAPLUS

CN β -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

RN 857067-56-2 CAPLUS

CN Phosphonic acid, [2-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 857067-57-3 CAPLUS

CN Butanoic acid, 4-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857067-58-4 CAPLUS

CN β -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-, ethyl ester (CA INDEX NAME)

RN 857067-59-5 CAPLUS

CN 1-Propanesulfonamide, 3-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-60-8 CAPLUS

CN 1-Butanesulfonamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-61-9 CAPLUS

CN Pentanamide, 5-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me (CH₂)
$$_4$$
 - C - NH₂ NH - (CH₂) $_3$ - N

RN 857067-62-0 CAPLUS

CN 1-Propanesulfonamide, 3-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-N-methyl- (CA INDEX NAME)

RN 857067-63-1 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]-N-methyl- (CA INDEX NAME)

857067-64-2 CAPLUS RN

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3methylbutyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-65-3 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)]2-(2-CNhydroxyethoxy)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-66-4 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3phenoxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

PhO-CH₂-CH-CH₂
Me
$$N$$
NH-(CH₂)₃-N

857067-67-5 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(1H-imidazol-5-CN ylmethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-y1]methy1]-6-methy1- (CA INDEX NAME)

RN

857067-68-6 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-methoxyethyl)amino]methyl]-2-CN [[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methy1]-6-methy1-(CA INDEX NAME)

857067-69-7 CAPLUS RN

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3phenylpropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

857067-70-0 CAPLUS RN

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3,3dimethylbutyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-71-1 CAPLUS 3-Pyridinol, 2-[[6-[[(3-bromophenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-72-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-73-3 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

Me

HO

$$\begin{array}{c}
N \\
CH_2 \\
N \\
N \\
N \\
N
\end{array}$$
 $\begin{array}{c}
N \\
N \\
N \\
N
\end{array}$
 $\begin{array}{c}
N \\
N \\
N \\
N
\end{array}$
 $\begin{array}{c}
N \\
N \\
N \\
N
\end{array}$
 $\begin{array}{c}
N \\
N \\
N \\
N
\end{array}$
 $\begin{array}{c}
N \\
N \\
N \\
N
\end{array}$

RN 857067-74-4 CAPLUS

CN Benzonitrile, 4-[(2-hydroxyethyl)][[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

NC
$$CH_2-CH_2-OH$$
 N $N+CH_2$ $N+CH_2$

RN 857067-75-5 CAPLUS

CN Propanamide, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

RN 857067-76-6 CAPLUS

CN Butanamide, 4-[(3-bromophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

RN 857067-77-7 CAPLUS

CN 1-Butanesulfonamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

RN 857067-78-8 CAPLUS

3-Pyridinol, 2-[[6-[[(4-hydroxybutyl)(3-methylphenyl)amino]methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-79-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-hydroxypropyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-80-2 CAPLUS 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)]2-(4-CNmorpholiny1)ethy1]amino]methy1]-2-[[3-(4-morpholiny1)propy1]amino]-1Hbenzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857067-81-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-bromophenyl)(3-hydroxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 857067-82-4 CAPLUS

CN Butanamide, 4-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

RN 857067-83-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-84-6 CAPLUS

CN 1-Propanesulfonamide, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3methylphenyl)amino]-N-methyl- (CA INDEX NAME)

RN 857067-85-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-ethynylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me
N
OH
$$CH_2$$
 $HC = C$
 $N - CH_2$
 $N - CH_$

RN 857067-86-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(dimethylamino)ethyl](3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ \text{Me} & & & \\ & & & \\ & & & \\ \text{Me} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 857067-87-9 CAPLUS

CN Acetamide, 2-[[2-(2-hydroxyethyl)phenyl][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

857067-88-0 CAPLUS RN

Butanoic acid, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pCN morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3methylphenyl)amino]-, methyl ester (CA INDEX NAME)

RN

857067-89-1 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]][2-(4-CN morpholinyl)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-90-4 CAPLUS

 $\label{eq:propanamide} \parbox{Propanamide, 3-[[3-(2-hydroxyethyl)phenyl][[1-[(3-hydroxy-6-methyl-2-methyl-$ CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

857067-91-5 CAPLUS RN

Benzamide, 4-[(2-hydroxyethyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-CN $2-[[3-(4-morpholinyl)propyl]amino]-1\\ \\ H-benzimidazol-6-yl]methyl]amino]-1\\ \\ H-benzimidazol-6-yl]methyl]amino]-1$ (CA INDEX NAME)

RN

857067-92-6 CAPLUS 3-Pyridinol, 2-[[6-[[(4-hydroxyphenyl)phenylamino]methyl]-2-[[3-(4-hydroxyphenyl)phenylamino]methylamino]methylamino[[3-(4-hydroxyphenyl)phenylamino]methylamino[[3-(4-hydroxyphenyl)phenylamino]methylamino[[3-(4-hydroxyphenyl)phenylamino]methylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl)phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenylamino[[3-(4-hydroxyphenyl]phenylamino[[3-(4-hydroxyphenylamino[[3-(4-hydroxyphenylamino[[3-(4-hydroxyphenylamino[[3-(4-hydroxyphenylamino[[3-(4-hydroxyphenylamiCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-93-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-94-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(aminomethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-95-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-hydroxyphenyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-96-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-97-1 CAPLUS

CN Benzamide, 2-[(2-hydroxyethyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

RN 857067-98-2 CAPLUS

CN 1-Propanesulfonamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-99-3 CAPLUS

Propanamide, 3-[(3-bromophenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

857068-00-9 CAPLUS RN

Butanamide, 4-[(3-ethynylphenyl)][[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

Me
N
OH
$$CH_2$$
 $H_2N-C-(CH_2)_3$
 $N-CH_2$
 $N-CH_2$

RN

857068-01-0 CAPLUS Propanamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{OH} \\ \text{H2N-C-CH2-CH2} \\ \text{HC} \\ \text{C} \\ \text{N} \\ \text{CH2} \\ \text{N} \\ \text{NH-(CH2)3-N} \\ \text{N} \\ \text{OH} \\ \text{CH2} \\ \text{N} \\ \text{NH-(CH2)3-N} \\ \text{NH-(C$$

RN 857068-02-1 CAPLUS

Butanoic acid, 4-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

Me OH
$$CH_2$$
 CH_2 C

857068-03-2 CAPLUS RN

CN 3-Pyridinol, 2-[[6-[[(3-bromopheny1)(4-hydroxybuty1)amino]methy1]-2-[[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hydroxybuty1)amino]methy1]-2-[3-(4-hmorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857068-04-3 CAPLUS
Propanamide, 3-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

$$Me$$

$$H_2N-C-CH_2-CH_2$$

$$NC$$

$$N-CH_2$$

$$NH-(CH_2)_3$$

RN 857068-05-4 CAPLUS

Benzonitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl]]-2-[[3-(4-methyl-2-pyridinyl)methyl]]CN morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3hydroxypropyl)amino]- (CA INDEX NAME)

NC (CH₂)₃-OH
$$\sim$$
 NH- (CH₂)₃-N

857068-06-5 CAPLUS RN

Benzenesulfonamide, 4-[(2-hydroxyethyl)][[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN

857068-07-6 CAPLUS 3-Pyridinol, 2-[[6-[[(3-fluorophenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 857068-08-7 CAPLUS

 $3- \texttt{Pyridinol}, \ 2- \texttt{[[6-[[[2-(2-hydroxyethyl)phenyl]methylamino]methyl]} - 2- \texttt{[[3-(2-hydroxyethyl)phenyl]methylamino]} \\$ CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me OH
$$CH_2$$
 $N-CH_2$ $N-CH_2-CH_2-OH$ $N-CH_2-OH$ $N-CH_2-OH$ $N-CH_2-OH$ $N-CH_2-OH$

857068-09-8 CAPLUS RN

CN Butanamide, 4-[(3,5-dichlorophenyl)][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

C1 O HO
$$\sim$$
 N \sim CH2 \sim NHC \sim NHC

RN

857068-10-1 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dichlorophenyl)(4-hydroxybutyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-11-2 CAPLUS

CN Propanamide, 3-[(2,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857068-12-3 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl][2-methyl-5-(1-methylethyl)phenyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 857068-13-4 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](2,3,5-

RN 857068-14-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-15-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-methyl-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-16-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2,3,5-trimethylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

RN 857068-17-8 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-quinolinylamino]-(CA INDEX NAME)

RN 857068-18-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)-3-quinolinylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-19-0 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-pyrimidinylamino]-(CA INDEX NAME)

RN 857068-20-3 CAPLUS

3- Pyridinol, 2- [[6-[[(2-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-hydroxyethyl)-2-[3-(4-hydroxyethyCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857068-21-4 CAPLUS RN

Butanamide, 4-[(1-ethyl-1H-pyrazol-5-yl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN

857068-22-5 CAPLUS 3-Pyridinol, 2-[[6-[[(1-ethyl-1H-pyrazol-5-yl)(2-CN hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Et
$$HO-CH_2-CH_2$$
 $NH-(CH_2)_3-N$ $NH-(CH_2)_$

RN 857068-23-6 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl]]morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-4-pyridinylamino]-(CA INDEX NAME)

RN

857068-24-7 CAPLUS 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(5-methyl-3-isoxazolyl)amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-25-8 CAPLUS

CN Butanoic acid, 4-[[4-[[4-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-pyridinyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-pyridinyl]-2-[[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-2-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2-pyridinyl)methyl-3-[3-(4-ydroxy-6-methyl-2morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3,5dimethylphenyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857068-26-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-3-methylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-27-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-3-methoxyphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-28-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(4-hydroxybutyl)amino]-2-methylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO- (CH₂)₄-NH
$$CH_{2}$$

$$N$$

$$N$$

$$NH$$

$$CH_{2}$$

$$N$$

$$N$$

$$N$$

$$N$$

$$CH_{2}$$

$$N$$

$$N$$

$$OH$$

RN 857068-29-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-2,6-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-30-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2,6-dimethyl-4-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857068-31-6 CAPLUS RN

3-Pyridinol, 2-[[6-[[4-[[2-(dimethylamino)ethyl]amino]-2,6-CN dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857068-32-7 CAPLUS RN

CN Benzoic acid, 2-[(2-hydroxyethyl)amino]-5-[[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]-, ethyl ester (CA INDEX NAME)

RN

857068-33-8 CAPLUS 3-Pyridinol, 2-[[6-[[4-[(4-hydroxybutyl)amino]-2,6-dimethylphenyl]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

HO (CH₂)
$$_4$$
 NH Me CH₂ NH (CH₂) $_3$ NH (CH₂) $_3$ N

●x HCl

RN 857068-34-9 CAPLUS

CN 1-Propanesulfonamide, 3-[[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3,5-dimethylphenyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

$$H_2N-S-(CH_2)_3-NH$$
 O
 CH_2
 N
 $NH-(CH_2)_3-NH$
 Me
 $NH-(CH_2)_3-NH$
 $NH-(CH_2)_3-NH$

●x HCl

RN 857068-35-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[[1-(hydroxymethyl)propyl]amino]-2,6-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

$$HO-CH_2$$
 $Et-CH-NH$
 Me
 CH_2
 N
 $NH-(CH_2)_3-N$
 Me

●x HCl

RN 857068-36-1 CAPLUS

CN Propanamide, 3-[[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2,5-dimethylphenyl]amino]- (CA INDEX NAME)

RN 857068-37-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-2,5-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO
$$\sim$$
 CH2 \sim NH \sim NH \sim CH2 \sim NH \sim NH \sim CH2 \sim NH \sim

RN 857068-38-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[1-ethyl-5-[(2-hydroxyethyl)amino]-1H-pyrazol-4-yl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-39-4 CAPLUS

CN 3-Pyridinol, 2-[[6-[(2,3-dihydro-6-methyl-1H-indol-1-yl)methyl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl)methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl)methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methymorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857068-40-7 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-41-8 CAPLUS

3-Pyridinol, 6-methyl-2-[[2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & \text{N} \\ \hline N & \text{CH}_2 \\ \hline N & \text{OH} \\ \end{array}$$

RN857068-42-9 CAPLUS CN 3-Pyridinol, 2-[[5,7-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-43-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-[2-(3-hydroxypropyl)-5-methylphenyl]-2-[[3-(4-morpholinyl)propyl]amino]-(CA INDEX NAME)

HO (CH₂) 3 O CH₂ NH CH₂) 3 NH
$$\sim$$
 NH (CH₂) 3 N \sim N

RN 857068-44-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857068-45-2 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857068-46-3 CAPLUS

1H-Benzimidazole-6-carboxamide, N-(3,5-dimethylphenyl)-1-[(3-hydroxy-6-CN methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

Me NH- C NH- (CH2) 3 NH- (CH2) 3 NH-
$$(CH_2)$$
 3 NH- (CH_2) 3 NH

857068-57-6 CAPLUS RN

CN 4-Morpholineethanol, $\alpha-[[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-$ [(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2yl]amino]methyl]- (CA INDEX NAME)

Me OH
$$CH_2$$
 OH $NH-CH_2-CH-CH_2-N$ $NH-CH_2-CH-CH_2-N$

RN

857068-58-7 CAPLUS 3-Pyridinol, 2-[[2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-6-CN [[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857068-59-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

Me
$$HO$$
 N Me Me Me Me Me

RN 857068-60-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-62-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-1H-

benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-CH_2-CH_2-N \\ \hline N-CH_2 & OH \\ \end{array}$$

RN 857068-63-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{S} \\ \text{O} \\ \text{Me} \\ \\ \text{HO} \\ \text{N} \\ \\ \text{Me} \\ \end{array}$$

RN 857068-64-5 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-, hydrochloride (1:4) (CA INDEX NAME)

Me O OH OH
$$CH_2$$
 OH CH_2 OH $N-CH_2$ OH $N-CH_2$ $N-C$

RN 857068-65-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me HO
$$\sim$$
 N \sim CH2 \sim CH2 \sim NH— (CH2)3 \sim N

RN 857068-66-7 CAPLUS

CN 4-Morpholineethanol, $\alpha-[[[6-[[(3-bromophenyl)(2-hydroxyethyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)$

●x HCl

RN 857068-67-8 CAPLUS

CN 2-Morpholineethanol, 4-[3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-(CA INDEX NAME)

Me NH-
$$CH_2$$
 NH- CH_2 OH OH

RN 857068-68-9 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3 - N \\ N-CH_2 & N-CH_2 \\ Me & OH \end{array}$$

RN 857068-70-3 CAPLUS

CN 2-Morpholineethanol, 4-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH- (CH₂)₃ N
$$CH_2$$
- CH₂- CH₂- OH CH_2 OH

RN 857068-71-4 CAPLUS

CN 2-Morpholineacetamide, 4-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH- (CH₂)₃ N
$$CH_2$$
- C- NH₂
Me OH

RN 857068-72-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-methylphenyl]amino]methyl]-2[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

HO-CH₂-CH₂

$$NH-CH2-NH-CH2$$

$$NH-CH2-NH-CH2$$

$$NH-CH2-NH-CH2-NH-(CH2)3-N-C$$

RN 857068-81-6 CAPLUS

CN Benzonitrile, 4-(2-hydroxyethyl)-3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

HO-CH₂-CH₂

$$NH-CH2-CH2$$

$$NH-CH2-NH-(CH2)3-NC$$

$$CN$$

RN 857068-88-3 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)][[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857068-94-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropy1)-5-(trifluoromethy1)pheny1]amino]methy1]-2-[[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methy1]- (CA INDEX NAME)

RN 857068-97-4 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

RN 857068-98-5 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$
 $NH-CH_2$

RN 857069-06-8 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-17-1 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-18-2 CAPLUS

CN Ethanol, 2-[(3,5-dimethylphenyl)[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me MeO N Me CH₂-CH₂-OH NH- (CH₂)₃-N NH-
$$(CH_2)_3$$
-N NH- $(CH_2)_3$ -N NH- $(CH_2)_4$ -N NH- $(CH_2)_$

RN 857069-25-1 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-6-methyl-(CA INDEX NAME)

RN 857069-26-2 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me O CH2
$$N$$
 NH (CH2) 3 NH N NH N

RN 857069-29-5 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-37-5 CAPLUS

CN Butanamide, 4-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3,5-dimethylphenyl)amino]- (CA INDEX NAME)

RN 857069-38-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-41-1 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-43-3 CAPLUS

CN Benzenepropanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

$$Br$$
 N
 CH_2
 N
 $NH-CH_2$
 $NH-CH_2$

RN 857069-44-4 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]-(CA INDEX NAME)

RN 857069-47-7 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dimethylphenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-48-8 CAPLUS

CN Benzenesulfonamide, 4-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-50-2 CAPLUS

CN Benzenepropanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 857069-51-3 CAPLUS

CN Benzeneethanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$Me$$

$$N$$

$$CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

RN 857069-54-6 CAPLUS

CN Ethanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3,5-dimethylphenyl)amino]-, hydrochloride (1:?) (CA INDEX NAME)

Me
$$CH_2-CH_2-OH$$
 N $NH-(CH_2)_3-N$ $NH-(CH_2)_3-N$

•x HCl

RN 857069-55-7 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-56-8 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

RN 857069-57-9 CAPLUS

CN Ethanol, 2-[(3,5-dimethylphenyl)[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me
$$CH_2-CH_2-OH$$
 N $NH-(CH_2)_3-N$ $NH-(CH_2)_3-N$

RN 857069-75-1 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-bromophenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-76-2 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-6-methyl-(CA INDEX NAME)

Me
$$NH-CH_2$$
 $NH-CH_2$ N

RN 857069-79-5 CAPLUS

CN 3-Pyridinol, 2-[[5-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

HO— (CH₂) 3
$$NH-CH_2$$

$$NH-CH_2$$

$$N-CH_2$$

$$N-CH_2$$

$$OH$$

RN 857069-80-8 CAPLUS

CN Benzamide, 4-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-81-9 CAPLUS

CN Benzamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-83-1 CAPLUS

CN Benzonitrile, 3-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-84-2 CAPLUS

CN Benzeneethanol, 3-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-91-1 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-97-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[[3-(2-methoxyethoxy)-6-methyl-2-pyridinyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-00-9 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-04-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$

RN 857070-09-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[[3-(2-methoxyethoxy)-6-methyl-2-pyridinyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-10-1 CAPLUS

CN Benzeneethanol, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-11-2 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2 \\ \text{NH-CH}_2 \\ \text{NH-(CH}_2)_3 \\ \text{NH-(CH}$$

RN 857070-12-3 CAPLUS

CN Benzeneethanol, 2-methyl-6-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$Me$$

$$HO-CH_2-CH_2$$

$$Me$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

RN 857070-15-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-methylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$

RN 857070-18-9 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$\mathsf{R} - \mathsf{CH}_2 - \mathsf{N}$$

RN 857070-19-0 CAPLUS

CN Benzonitrile, 3-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-20-3 CAPLUS

CN Benzenesulfonamide, 4-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-21-4 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dichlorophenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 857070-22-5 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(6-methyl-2-pyridinyl)methyl]-N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-24-7 CAPLUS

CN Benzenemethanol, 2-methyl-6-[[[1-[(6-methyl-2-pyridinyl)methyl]]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-25-8 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

HO— (CH₂) 3
$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$N=CH_{2}$$

$$N=OMe$$

RN 857070-27-0 CAPLUS

CN Benzenepropanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)

HO— (CH₂) 3
$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

RN 857070-29-2 CAPLUS

CN Benzamide, 4-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-30-5 CAPLUS

CN Benzeneethanol, 3-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-34-9 CAPLUS

CN Benzamide, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX

RN 857070-40-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-41-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-43-0 CAPLUS

CN Benzenepropanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

HO—
$$(CH_2)_3$$

NH— CH_2

N— N

NH— $(CH_2)_3$

N

N

 N

Br

RN 857070-59-8 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-bromophenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$
 $NH-CH_2$

RN 857070-60-1 CAPLUS

CN Benzenemethanol, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-61-2 CAPLUS

CN Benzenemethanol, 5-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me NHO-CH2
$$\sim$$
 NH-CH2 \sim NH-(CH2)3 \sim N

RN 857071-23-9 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me O OH
$$CH_2$$
 OH CH_2 OH $N-CH_2$ $N-CH_2$

RN 857083-26-2 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857083-27-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-5-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857083-28-4 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-5-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857083-29-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857083-30-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[2-(2-hydroxyethyl)-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

IT 857083-31-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857083-31-9 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-thiomorpholinyl)propyl]amino]- (CA INDEX NAME)

IT 857071-96-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857071-96-6 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 857070-63-4P 857070-64-5P 857070-65-6P 857070-68-9P 857070-83-8P 857070-84-9P 857070-99-6P 857071-00-2P 857071-01-3P 857071-02-4P 857071-03-5P 857071-04-6P 857071-15-7P 857071-08-0P 857071-15-9P 857071-17-1P 857071-19-3P 857071-29-5P 857071-32-0P 857071-34-2P 857071-43-3P 857071-46-6P 857071-48-8P 857071-58-0P 857071-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857070-63-4 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid,

1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH - (CH_2)_3 - N \\ \hline N - CH_2 \\ O & Me \end{array}$$

RN 857070-64-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 - N \\ \hline N - \text{CH2} \\ \\ \text{Me} & OH \end{array}$$

● 4 HCl

RN 857070-83-8 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[[5-methyl-2-[3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-propyn-1-yl]phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C} & \text{CH}_2 - \text{O} \\ \text{C} & \text{NH} - \text{CH}_2 \\ \text{Me} & \text{NH} - \text{CH}_2 \\ \text{Me} & \text{OH} \end{array}$$

RN 857070-84-9 CAPLUS

CN 2-Propenenitrile, 3-[4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3,5-dimethylphenyl]- (CA INDEX NAME)

NC-CH=CH Me
$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

$$NH-CH_{2}$$

RN 857070-99-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857071-00-2 CAPLUS

CN 4-Morpholineethanol, α -[[[6-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} & \text{OH} \\ & \text{NH-CH}_2-\text{CH-CH}_2-\text{N} \\ & \text{N-CH}_2 \\ & \text{Me} \end{array}$$

RN 857071-01-3 CAPLUS

CN 4-Morpholineethanol, α -[[[5-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]- (CA INDEX NAME)

RN 857071-02-4 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{N} & \text{NH-CH}_2\text{-CH-CH}_2\text{--N} \\ \text{OHC} & \text{N} & \text{OH} \\ \end{array}$$

RN 857071-03-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2 & \text{N} & \text{NH- (CH}_2)_3 & \text{N} & \text{O} \\ & \text{N-CH}_2 & \text{N} & \text{OH} \end{array}$$

RN 857071-04-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 857071-05-7 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

OHC N—
$$CH_2$$
 OH OH

RN 857071-08-0 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-09-1 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[5-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-11-5 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-(chloromethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 857071-13-7 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

Me NH-
$$(CH_2)_3$$
 NH- $(CH_2)_3$ NH- $(CH_2)_3$ NH- $(CH_2)_3$ OH OH

RN 857071-15-9 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-17-1 CAPLUS

CN 2-Morpholineacetamide, 4-[3-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

RN 857071-19-3 CAPLUS

CN 4-Morpholineethanol, α -[[[6-(chloromethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{N} & \text{NH-CH}_2-\text{CH-CH}_2 & \text{N} \\ \text{ClCH}_2 & \text{N} & \text{OH} \\ \end{array}$$

HC1

RN 857071-29-5 CAPLUS

CN $1 \\ \\ H-Benzimidazole-6-methanol, \\ 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyl]-2-[3-(4-hydroxy-2-pyridinyl)methyll]-2-[3-(4-hydroxy-2-pyridinyl)methyll]-2-[3-(4-hydroxy-2-pyridinyl)methyll]-2-[3-(4-hydroxy-2-pyridinyl)methyll]-2-[3-(4-hydroxy-2-pyridin$ morpholinyl)propyl]amino]- (CA INDEX NAME)

N NH-
$$(CH_2)_3$$
 N NH- R

857071-32-0 CAPLUS RN

1H-Benzimidazole-6-carboxaldehyde, CN 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$N$$
 $NH-(CH2)3-N$
 $NH-R$

$$R - CH_2$$

RN

857071-34-2 CAPLUS
3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

NH- (CH₂)₃ NH-
$$R$$

● 4 HCl

RN 857071-43-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857071-46-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-R & \end{array}$$

RN 857071-48-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(6-bromo-2-pyridiny1)methy1]-6-(chloromethy1)-N-[3-(4-morpholiny1)propy1]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

RN 857071-58-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 & \text{N} \\ \hline \\ \text{OHC} & N & \text{CH2} \\ \hline \\ \text{Me} & & \text{OMe} \\ \end{array}$$

RN 857071-60-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-(chloromethyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[3-(4-morpholinyl)propyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:564654 CAPLUS

DOCUMENT NUMBER: 143:97359

TITLE: Preparation of amino-benzimidazoles as inhibitors of

respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef

Lodewijk; Janssens, Frans Eduard; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe,

Jean Fernand Armand

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	FENT	NO.		KIND		DATE		APPLICATION NO.						DATE				
WO 2005058870				A1		20050630		WO 2004-EP53617						20041220				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	ΒA,	BB,	BG,	BR,	B₩,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	ΤT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GΒ,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
AU 2004298458									AU 2004-298458					20041220				
CA 2548666													20041220					
EΡ										EP 2004-804953								
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HR, IS, YU																		
	CN 1894236				Α		20070110			CN 2004-80037281						20041220		
	R 2004017808			A		20070410			BR 2004-17808						20041220			
	2007514718					20070607			JP 2006-544464						20041220			
RU 2332414					20080827			RU 2006-125729										
IN 2006DN02972				A				IN 2006-DN2972						20060524				
US 20090036466				A1	20090205			US 2006-596500					20060615					

MX 2006007110 20060823 MX 2006-7110 20060619 Α KR 2006118568 KR 2006-713072 20060629 Α 20061123 PRIORITY APPLN. INFO .: 20031218 EP 2003-104804 Α Р US 2004-567181P 20040430 W 20041220 WO 2004-EP53617

OTHER SOURCE(S): CASREACT 143:97359; MARPAT 143:97359

The title compds. [I; Q = Ar2, cycloalkyl or (un)substituted alkyl; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R3a = alkyl and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H or alkyl, and R3b = H; in case R3a is different from hydrogen then R3b = H or alkyl, and R2b = H; or R2a, R2b, R3a and R3b all = H; R5 = H, alkyl; Ar1, Ar2 = (un)substituted Ph; and their prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from (3-benzyloxy-6-methylpyridin-2-yl)methanol, was given. The exemplified compds. I were tested for activity against RSV (data given). The invention further concerns preparation of compds. I and compns. comprising them, as well as their use as a medicine.

IT 856895-07-3P 856895-14-2P 856895-16-4P 856895-18-6P

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856895-07-3 CAPLUS

CN β -Alanine, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]-, ethyl ester (CA INDEX NAME)

RN 856895-14-2 CAPLUS

CN Benzonitrile, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 856895-16-4 CAPLUS

CN 2-Pyrrolidinone, 1-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

RN 856895-18-6 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-5,7-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{N} \\ \text{HO} \end{array} \\ \begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO} \\ \end{array}$$

 356894-96-7P
 856894-97-8P
 856894-98-9P

 856894-99-0P
 856895-00-6P
 856895-01-7P

 856895-02-8P
 856895-03-9P
 856895-04-0P

 856895-05-1P
 856895-06-2P
 856895-08-4P

 856895-09-5P
 856895-10-8P
 856895-11-9P

 856895-12-0P
 856895-13-1P
 856895-15-3P

 856895-21-1P
 856895-19-7P
 856895-20-0P

 856895-21-1P
 856895-22-2P
 856895-23-3P

 856895-24-4P
 856895-25-5P
 856895-26-6P

 856895-30-2P
 856895-31-3P
 856895-32-4P

 856895-33-5P
 856895-34-6P
 856895-35-7P

856895-36-8P 856895-37-9P 856895-38-0P

856895-44-8P 856895-45-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856894-96-7 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-97-8 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(1-pyrrolidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-98-9 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-99-0 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

856895-00-6 CAPLUS RN

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2 \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{OH} \end{array}$$

RN

856895-01-7 CAPLUS 3-Pyridinol, $2-[[4,6-dimethyl-2-[[2-(1-piperidinyl)ethyl]amino]-1H- <math display="inline">^{\circ}$ CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2 \\ \text{N} \\ \text{Me} \\ \end{array}$$

RN 856895-02-8 CAPLUS

3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

856895-03-9 CAPLUS RN

CNPropanamide, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-2-pyridinyl)methyl]1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{N} & \text{NH-CH}_2\text{-CH}_2\text{-C-NH}_2 \\ \text{Me} & \text{NO} & \text{Me} \end{array}$$

RN 856895-04-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(aminomethyl)phenyl]amino]-4,6-dimethyl-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{Me} \\ \text{Ho} \\ \end{array}$$

RN

 $\begin{array}{lll} 856895-05-1 & \text{CAPLUS} \\ 3-\text{Pyridinol,} & 2-[[2-[[3-(1\text{H-imidazol-1-yl)propyl]amino}]-4,6-\text{dimethyl-1H-} \\ \end{array}$ CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-06-2 CAPLUS

CN3-Pyridinol, 2-[[2-(1H-imidazol-5-yl)ethyl]amino]-4,6-dimethyl-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{N} & \text{NH-CH}_2\text{--CH}_2 \\ & \text{N} & \text{CH}_2 \\ & \text{Me} & \text{N} & \text{OH} \end{array}$$

RN 856895-08-4 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-09-5 CAPLUS

CN 3-Pyridinol, 2-[[2-[(4-aminophenyl)amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \end{array} \quad \text{Me}$$

RN 856895-10-8 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-pyridinylmethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-11-9 CAPLUS

CN 3-Pyridinol, 2-[[2-[(3-methoxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-12-0 CAPLUS

CN 3-Pyridinol, 2-[[2-(cyclohexylamino)-4,6-dimethyl-1H-benzimidazol-1yl]methyl]-6-methyl- (CA INDEX NAME)

RN

856895-13-1 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-Ph} \\ \text{Me} \\ \\ \text{Me} \end{array}$$

RN 856895-15-3 CAPLUS

3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-4,6-CN dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2 \\ & \text{NOT} \\ & \text{Me} \\ & \text{Me} \\ & \text{OH} \\ \end{array}$$

856895-17-5 CAPLUS RN

CN Benzenesulfonamide, 4-[2-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-methyl-2-pyridinyl)methyl]-4,6-methyl-2-pyridinyldimethyl-1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{Me} \\ \end{array}$$

RN 856895-19-7 CAPLUS

CN 3-Pyridinol, 2-[[2-[(cyclohexylmethyl)amino]-5,7-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-20-0 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & \text{N} \\ \hline N & \text{CH}_2 \\ \hline N & \text{OH} \end{array}$$

RN 856895-21-1 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline Me & \text{OH} \end{array}$$

RN 856895-22-2 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{N} & \text{NH-CH}_2\text{-CH-CH}_2\text{-OH} \\ \text{N} & \text{CH}_2 & \text{Me} \end{array}$$

RN 856895-23-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(1-pyrrolidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 856895-24-4 CAPLUS

CN 3-Pyridinol, 2-[[2-[[2-(4-methoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-25-5 CAPLUS

 $\hbox{3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1H-linear and a result of the property of the property$ CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

- 856895-26-6 CAPLUS RN
- 3-Pyridinol, 2-[[2-[(5-hydroxypentyl)amino]-1H-benzimidazol-1-yl]methyl]-6-CN methyl- (CA INDEX NAME)

- RN
- 856895-27-7 CAPLUS 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-CN methyl- (CA INDEX NAME)

- RN 856895-28-8 CAPLUS
- CN 3-Pyridinol, 2-[[2-[(6-hydroxyhexyl)amino]-1H-benzimidazol-1-yl]methyl]-6methyl- (CA INDEX NAME)

- RN
- $856895-29-9 \quad \text{CAPLUS} \\ 3-\text{Pyridinol}, \quad 2-[[2-[[2-(3,4-\texttt{dimethoxyphenyl})\texttt{ethyl}]\texttt{amino}]-1\texttt{H-benzimidazol}-1-$ CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-30-2 CAPLUS

CN 2-Pyrrolidinone, 1-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

RN 856895-31-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(methylthio)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

N NH- (CH₂)₃-SMe
N-CH₂
N
$$Me$$

RN 856895-32-4 CAPLUS

CN Butanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (CA INDEX NAME)

RN 856895-33-5 CAPLUS

CN Butanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 856895-34-6 CAPLUS

CN 3-Pyridinol, 2-[[2-([2-(1H-indol-3-y1)ethy1]amino]-1H-benzimidazol-1yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2\\ N & \text{CH}_2\\ \end{array}$$

RN

856895-35-7 CAPLUS 3-Pyridinol, 6-methyl-2-[[2-[[2-(2-pyridinyl)ethyl]amino]-1H-benzimidazol-CN 1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2 \\ \hline N & \text{CH}_2 \\ \\ \text{Me} & \text{OH} \end{array}$$

RN 856895-36-8 CAPLUS

3-Pyridinol, 2-[[2-(3-fluorophenyl)ethyl]amino]-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN856895-37-9 CAPLUS

CN yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2 \\ \hline N & \text{CH}_2 \\ \hline \text{Me} & OH \end{array}$$

RN 856895-38-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-1H-benzimidazol-1yl]methyl]-6-methyl- (CA INDEX NAME)

856895-44-8 CAPLUS RN

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-4,6-dimethyl-1Hbenzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

RN

 $\begin{array}{lll} 856895-45-9 & \text{CAPLUS} \\ 3-\text{Pyridinol}, & 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-5,7-dimethyl-1H-1] \end{array}$ CN benzimidazol-1-yl]methyl]-6-methyl-, acetate (1:1) (CA INDEX NAME)

CM

CRN 856895-18-6 CMF C24 H27 N5 O

CM 2

CRN 64-19-7 CMF C2 H4 O2

IT 856895-40-4P 856895-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856895-40-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 856895-41-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]- (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:117213 CAPLUS

DOCUMENT NUMBER: 140:163868

TITLE: Preparation of acylaminoheteroarenes as upregulators

of endothelial nitric oxide synthase (eNOS).

INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Below, Peter

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: Eur. Pat. Appl., 40 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.			DATE						
EP	IP 1388341			A1		20040211			EP 2002-17585				20020807				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	SK		
CA	A 2494298			A1 20040219			CA 2003-2494298										
WO	2004014369			A1 20040219			WO 2003-EP8102				20030724						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	ΗU,	ID,	ΙL,	IN,	IS,	JΡ,	KE	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	I, MW,	MX,	ΜZ,	NΙ,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE	, SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
		TR,	TT,	ΤZ,	UA,	UG,	UΖ,	VC,	VN,	YU	, ZA,	ZM,	zw				
	R₩:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
AU 2003260325						AU 2003-260325											
EP 1534275					EP 2003-784054				20030724								
EP	1534						2008										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
											, TR,						
	2003										2003-						
	2005	5381	23		\mathbf{T}		2005				2004-						
	3887				T						2003-						
	2004									US	2003-	6349	79		2	0030	805
	7338				В2		2008										
					A		2005	0408			2005-					0050	
)RIT	Y APP	LN.	INFO	.:						EP	2002-	-1758	5	1	A 2	0020	807

OTHER SOURCE(S): MARPAT 140:163868

Ι

GΙ

AB Title compds. [I; R1, R4 = H, (substituted) alkyl, alkenyl, alkynyl, Ph, heteroaryl; R2, R3 = H, OH, halo, cyano, alkoxy, PhO, (substituted) alkyl, PhCONH, etc.; R5 = (substituted) aryl, heteroaryl; X = NR30, S, O, CH:CH, N:CH; R30 = H, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, title compound I (R1-R4 = H; R5 = 4-FC6H4; X = NH) (preparation outlined) activated eNOS transcription with EC50 = 0.028 μ M.

IT 656250-85-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylaminoheteroarenes as upregulators of endothelial nitric oxide synthase)

RN 656250-85-0 CAPLUS

CN Benzamide, 4-fluoro-N-[1-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:363331 CAPLUS

DOCUMENT NUMBER: 137:93712

TITLE: Rapid Microwave-Assisted Liquid-Phase Combinatorial

Synthesis of 2-(Arylamino)benzimidazoles

AUTHOR(S): Bendale, Pravin M.; Sun, Chung-Ming

CORPORATE SOURCE: Department of Chemistry, National Dong Hwa University,

Hualien, 974, Taiwan

SOURCE: Journal of Combinatorial Chemistry (2002), 4(4),

359-361

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:93712

AB An efficient, facile, and practical liquid-phase combinatorial synthesis of

benzimidazoles under microwave irradiation is described. In the first step, polymer-bound activated aryl fluoride was condensed with selective primary amines via an ipso-fluoro displacement reaction. Reduction of the polymer-bound nitro group followed by cyclization with isothiocyanates afforded immobilized benzimidazoles. The desired products were obtained in high yield with high purity after detaching from the soluble matrix. All reactions involved (SNAr reaction, reduction, cyclization, and support cleavage) were performed completely within a few minutes under microwave irradiation. The coupling of microwave technol, with liquid-phase combinatorial synthesis constitutes a novel and particularly attractive avenue for the rapid generation of structurally diverse libraries.

IT 442129-64-8P 442129-68-2P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(rapid microwave-assisted liquid-phase combinatorial synthesis of 2-(arylamino)benzimidazoles)

RN 442129-64-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,

2-(phenylamino)-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

RN 442129-68-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(4-fluorophenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{MeO-C} & \mathsf{F} \\ \mathsf{N} & \mathsf{NH} \\ \mathsf{N} & \mathsf{CH}_2 - \mathsf{CH}_2 \\ \mathsf{N} \end{array}$$

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:97991 CAPLUS

DOCUMENT NUMBER: 137:93711

TITLE: Soluble polymer-supported synthesis of

2-(arylamino)benzimidazoles

AUTHOR(S): Wu, Cheng-Yi; Sun, Chung-Ming

CORPORATE SOURCE: Department of Chemistry, National Dong Hwa University,

Shou-Feng, Hualien, 974, Taiwan

SOURCE: Tetrahedron Letters (2002), 43(8), 1529-1533

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:93711

AB A novel liquid-phase method for the construction of biol. important benzimidazoles has been developed. A library of N-substituted 2-aminobenzimidazoles was readily assembled utilizing SNAr reactions, reduction of the nitro group, and a one-pot cyclization with isothiocyanate as the key step in the synthesis. The crude benzimidazoles were obtained in 80-99% yields with 78-95% HPLC purity.

IT 442129-64-8P 442129-68-2P 442129-73-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(soluble polymer-supported synthesis of (arylamino) benzimidazoles)

RN 442129-64-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,

2-(phenylamino)-1-[2-(2-pyridiny1)ethy1]-, methyl ester (CA INDEX NAME)

RN 442129-68-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(4-fluorophenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

RN 442129-73-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(3-methylphenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

MeO-C
$$N$$
 NH CH_2-CH_2 Me

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:12444 CAPLUS

DOCUMENT NUMBER: 134:86248

TITLE: Preparation of benzimidazoles as respiratory syncytial

virus replication inhibitors.

INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus

Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries,

Koenraad Jozef Lodewijk Marcel

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
WO 2001000611	A1 20010104	WO 2000-EP5676	20000620		
		BA, BB, BG, BR, BY, CA,			
		ES, FI, GB, GD, GE, GH,			
ID, IL, IN,		KP, KR, KZ, LC, LK, LR,			
		MX, MZ, NO, NZ, PL, PT,			
SE, SG, SI, ZA, ZW	SK, SL, TJ, TM,	TR, TT, TZ, UA, UG, US,	UZ, VN, YU,		
•	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZW, AT,	BE, CH, CY,		
DE, DK, ES,	FI, FR, GB, GR,	IE, IT, LU, MC, NL, PT,	SE, BF, BJ,		
CF, CG, CI,	CM, GA, GN, GW,	ML, MR, NE, SN, TD, TG			
CA 2376781	A1 20010104	CA 2000-2376781	20000620		
BR 2000012054	A 20020319	BR 2000-12054	20000620 20000620 20000620		
EP 1196408			20000620		
EP 1196408	B1 20040915				
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
	LV, FI, RO				
TR 200103804	T2 20020521	TR 2001-3804	20000620		
HU 2002001723		HU 2002-1723	20000620		
HU 2002001723	A3 20040428				
JP 2003503401	T 20030128 A 20030217 B1 20060215	JP 2001-507020	20000620		
EE 200100692	A 20030217 B1 20060215	EE 2001-692	20000620		
EE 4590	B1 20060215	0000 515110			
NZ 515418		NZ 2000-515418			
EP 1418175					
		GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
	FI, MK, CY, AL T 20041015	ът 2000 042041	20000620		
AT 276244 AU 779516		AT 2000-943841 AU 2000-58167	20000620 20000620		
PT 1196408	m 00050101	DE 0000 040041	20000620		
ES 2228559	T 20050131 T3 20050416 C 20060201	ES 2000-943841	20000620		
CN 1239496	C 20060201	CN 2000-809619	20000620		
AP 1552	A 20060228	AP 2002-2397	20000620		
		SD, SZ, TZ, UG, ZM, ZW	20000020		
SG 122814	A1 20060629		20000620		
TR 200600172			20000620		
PL 198021	T1 20070122 B1 20080530	TR 2006-172 PL 2000-362890	20000620		
TW 248932	B 20060211	TW 2000-89112477	20000626		
	A 20080326		20000627		
	A 20050304		20011206		
	A 20020702	MX 2002-112	20011219		
HR 2001000933	A1 20030630	HR 2001-933	20011219		
ZA 2001010478	A 20030320	ZA 2001-10478	20011220		
NO 2001006368	A 20020228	NO 2001-6368	20011227		
NO 324036	B1 20070730				
US 6924287	B1 20050802	US 2001-30202	20011227		
BG 106287	A 20021031	BG 2002-106287	20020108		

BG 65372	B1	20080430				
HK 1046141	A1	20060922	HK	2002-107761		20021025
US 20050234047	A1	20051020	US	2005-144103		20050603
US 7173054	B2	20070206				
US 20050239771	A1	20051027	US	2005-144126		20050603
US 7173034	B2	20070206				
US 20060154913	A1	20060713	US	2006-332557		20060112
US 7361657	B2	20080422				
US 20070021410	A1	20070125	US	2006-519719		20060911
US 7390 811	B2	20080624				
PRIORITY APPLN. INFO.:			EP	1999-202087	A	19990628
			EP	2000-200452	A	20000211
			EP	2000-943841	A 3	20000620
			WO	2000-EP5676	W	20000620
			US	2001-30202	A3	20011227
			US	2005-144103	A3	20050603
OMITTE COLLEGE (C)	MADDAM	124 06040				

MARPAT 134:86248 OTHER SOURCE(S): GΙ

$$Q = \begin{bmatrix} GR^1 \\ N \\ a^1 \\ a^2 \\ a^3 \end{bmatrix}$$

AΒ Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dihydro-3,4-dihyc]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dihyc]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = $0.00013-2.5119 \mu M.$ 1100824-97-2 1100824-98-3 1100824-99-4

TT

1100825-01-1 1100825-48-6

RL: PRPH (Prophetic)

(Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.)

1100824-97-2 CAPLUS RN

1,3-Propanediamine, N1-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-CN vl]-, hydrochloride (1:5) (CA INDEX NAME)

●5 HCl

RN 1100824-98-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

•4 HCl

● H₂O

RN 1100824-99-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

● 4 HCl

● H2O

RN 1100825-01-1 CAPLUS

CN 1,3-Propanediamine, N1-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethoxy)-, hydrochloride, hydrate (1:3:1) (CA INDEX NAME)

●3 HCl

● H2O

RN 1100825-48-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

IT 317846-15-4P 317846-16-5P 317846-37-0P

317846-94-9P 317846-95-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-15-4 CAPLUS

CN Acetamide, N-[2-[[cis-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]amino]ethyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 317846-16-5 CAPLUS

CN Acetamide, N-[2-[[trans-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]amino]ethyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 317846-37-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[(3-amino-2-hydroxypropyl)amino]-1H-benzimidazol-1-

yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \\ \text{N} & \text{NH-CH}_2\text{-CH-CH}_2\text{-NH}_2 \\ \\ \text{N} & \text{CH}_2 & \\ \text{HO} & \end{array}$$

RN 317846-94-9 CAPLUS

CN 1,4-Cyclohexanediamine, N1-(2-aminoethyl)-N4-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, hydrochloride (1:4), trans- (CA INDEX NAME)

Relative stereochemistry.

● 4 HCl

RN 317846-95-0 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-3-piperidinyl]methyl]-1-(2-pyridinylmethyl)-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & N & - CH_2 & \\ & & N & \end{array}$$

$$\begin{array}{c} CH_2 - CH_2 - NH_2 \\ \end{array}$$

4 HC1

IT 317847-82-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-82-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[bis(phenylmethyl)amino]-2- (phenylmethoxy)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

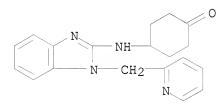
IT 317847-49-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-49-7 CAPLUS

CN Cyclohexanone, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:752271 CAPLUS

DOCUMENT NUMBER: 123:339888

ORIGINAL REFERENCE NO.: 123:61003a,61006a

TITLE: N-(1-Phenyl-2-benzimidazolyl)-N'-phenylurea

derivatives as potent inhibitors of

acyl-CoA:cholesterol acyltransferase (ACAT)

AUTHOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi;

Shirakura, Shiro; Ohishi, Eiko; Yamada, Koji

CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd.,

Shizuoka, 411, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995),

Ι

5(16), 1829-32

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

GΙ

AB N-(1-phenyl-2-benzimidazolyl)-N'-phenylurea derivs., e.g., I, were prepared as ACAT inhibitors. These compds. showed potent ACAT inhibitory activity in vitro (liver microsomes from cholesterol-fed rabbits) and hypocholesterolemic activity in vivo (cholesterol-fed golden hamsters).

IT 170752-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (phenylbenzimidazolyl)phenylureas as potent inhibitors of ACAT)

RN 170752-07-5 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[1-(3-chloro-2-pyridinyl)-1H-benzimidazol-2-yl]-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 170752-06-4 CMF C25 H26 C1 N5 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:709095 CAPLUS

DOCUMENT NUMBER: 123:218414

ORIGINAL REFERENCE NO.: 123:38595a,38598a

TITLE: Imidazoles and antiarteriosclerotics containing the

imidazoles

INVENTOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi;

Shirokura, Shiro; Ooishi, Eiko; Yamada, Koji

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07133224	A	19950523	JP 1993-280961	19931110
PRIORITY APPLN. INFO.:			JP 1993-280961	19931110
OTHER SOURCE(S):	MARPAT	123:218414		

AB Antiarteriosclerotics contain imidazoles I [X, Y = CH, N; Z = single bond, CH2; R1, R2, R3 = H, halo, lower alkyl, OH, lower alkoxy, carboxy, lower alkoxycarbonyl, NH2, mono- or di-lower alkyl-substituted amino, carbamoyl, mono- or di-lower alkyl-substituted carbamoyl, CF3; R4, R5, R6 = H, halo, lower alkyl, lower alkoxy; R7 = H, lower alkyl, lower alkyl-(un)substituted cycloalkyl] or their pharmacol. acceptable salts as active ingredients. N-[1-(2-chlorophenyl)-2-benzimidazolyl]-N'-(2,6-diisopropylphenyl)urea (II) (2.3 g) was prepared by treatment of 1.5 g 2-amino-1-(2-chlorophenyl)benzimidazole and 1.46 mL 2,6-diisopropylphenyl isocyanate. II (at 10-7M) inhibited acyl CoA:cholesterol acyltransferase by 85%. II showed min. LD of >100 mg/kg i.p. in mice. A formulation example of tablets is given.

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IT 168120-34-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiarteriosclerotics containing imidazoles)

RN 168120-34-1 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[1-(4-methyl-2-pyridinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

ENTRY SESSION 94.48 281.54 FULL ESTIMATED COST SINCE FILE TOTAL ENTRY SESSION DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE -13.12 -13.12

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LOGOFF? (Y)/N/HOLD:y

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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION -13.12 CA SUBSCRIBER PRICE

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